

=> d his

(FILE 'HOME' ENTERED AT 15:31:23 ON 07 JUN 2005)

FILE 'REGISTRY' ENTERED AT 15:31:32 ON 07 JUN 2005

L1 STRUCTURE UPLOADED

L2 6 S L1

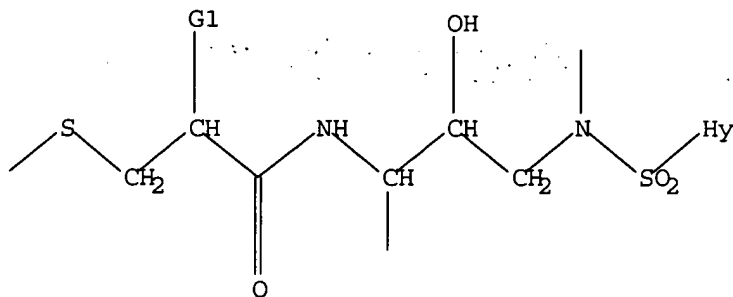
L3 136 S L1 FULL

FILE 'CAPLUS' ENTERED AT 15:32:04 ON 07 JUN 2005

L4 19 S L3

=> d que l4 stat

L1 STR



G1 C,H

Best Available Copy

Structure attributes must be viewed using STN Express query preparation.

L3 136 SEA FILE=REGISTRY SSS FUL L1

L4 19 SEA FILE=CAPLUS ABB=ON PLU=ON L3

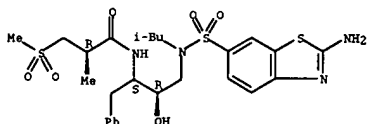
=> d 1-19 bib abs hitstr

L4 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN
 AN 2003:788593 CAPLUS
 DN 140:156713
 TI Discovery of novel benzothiazolesulfonamides as potent inhibitors of HIV-1 protease.
 AU Nagarajan, Srinivasan R.; De Crescenzo, Gary A.; Getman, Daniel P.; Lu, Hwang-Pun; Sikorski, James A.; Walker, Jeffrey L.; McDonald, Joseph J.; Houseman, Kathryn A.; Kocan, GERALYN P.; Kishore, Nandini; Mehta, Pramod P.; Funks-Shippy, Christie L.; Blystone, Lisa
 CS Pfizer Global Research and Development, Pfizer Inc., Chesterfield, MO, 63017, USA
 SO Bioorganic & Medicinal Chemistry (2003), 11(22), 4769-4777
 CODEN: BMECEP; ISSN: 0968-0896
 PB Elsevier Ltd.
 DT Journal
 LA English
 AB The human immunodeficiency virus (HIV) has been shown to be the causative agent for AIDS. The HIV virus encodes for a unique aspartyl protease that is essential for the production of enzymes and proteins in the final stages

of maturation. Protease inhibitors have been useful in combating the disease. The inhibitors incorporate a variety of isosteres including the hydroxyethylurea at the protease cleavage site. We have shown that the replacement of t-butylurea moiety by benzothiazolesulfonamide provided inhibitors with improved potency and antiviral activities. Some of the compds. have shown good oral bioavailability and half-life in rats. The synthesis of benzothiazole derivs. led us to explore other heterocycles. During the course of our studies, we also developed an efficient synthesis of benzothiazole-6-sulfonic acid via a two-step procedure starting from sulfanilamide.

IT 656236-13-4P 656236-22-5P
 RI: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and antiviral structure-activity relationship novel benzothiazolesulfonamides as potent inhibitors of HIV-1 protease)
 RN 656236-13-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2-amino-6-benzothiazolyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

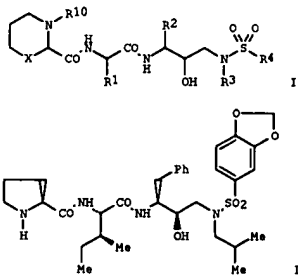


RN 656236-22-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN
 AN 2001:25780 CAPLUS
 DN 134:86548
 TI Preparation of heterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors
 IN Getman, Daniel P.; De Crescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Deyadas, Baleskudru; Nagarajan, Srinivasan; Brown, David L.; McDonald, Joseph J.
 PA G.D. Searle and Co., USA
 SO U.S., 85 pp., Cont.-in-part of U. S. Ser. No. 402,419, abandoned
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6172101	B1	20010109	US 1998-894984	19980423
WO 9628465	A1	19960919	WO 1996-US2683	19960307

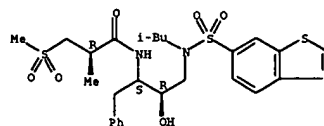
W: AL, AH, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI
 RW: KR, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA
 PRAI US 1995-402419 B2 19950310
 WO 1996-US2683 W 19960307
 US 1995-474117 A2 19950607
 OS MARPAT 134:86548
 GI



AB Heterocyclylcarbonyl amino acids, such as I [R1 = alkyl, alkenyl, alkynyl, etc.; R2 = alkyl, arylalkyl, alkylthioalkyl, arylthioalkyl, etc.; R3 = alkyl, cycloalkyl; R4 = aryl, heteroaryl; R10 = H, alkyl, nitrogen protecting group, etc., X = CH2, bond], were prepared for pharmaceutical use as HIV protease inhibitors for inhibiting retroviral proteases, such as human immunodeficiency virus (HIV) protease, prophylactically preventing

L4 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)
 (methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

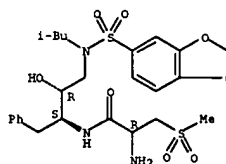
Absolute stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)
 retroviral infection or the spread of a retrovirus, and treatment of a retroviral infection. Thus, it was prepd. by a multistep synthetic sequence starting from N-protected-L-phenylalanine, -L-isoleucine, -L-proline, isobutylamine, and 1,3-benzodioxole. The prepd. heterocyclylcarbonyl amino acids were tested via an HIV inhibition assay.
 IT 183553-92-6P
 RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of heterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)
 RN 183553-92-6 CAPLUS
 CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

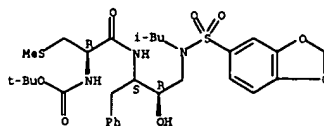
Absolute stereochemistry.



● HCl

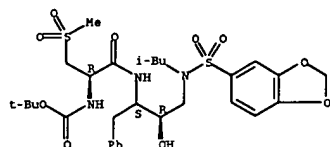
IT 183553-90-4P 183553-91-5P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of heterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)
 RN 183553-90-4 CAPLUS
 CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 183553-91-5 CAPLUS
 CN Carbamic acid, [(1R)-2-[[[(1S,2R)-3-[[[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

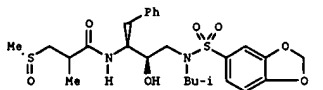
Absolute stereochemistry.



RE.CNT 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2001:10084 CAPLUS
 DN 134:71903
 TI Preparation of sulfonylalkanylamino hydroxyethylamino sulfonamide retroviral protease inhibitors
 IN Getman, Daniel P.; Decrescenzo, Gary A.; Fraskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balakudr; Nagarajan, Srinivasan; McDonald, Joseph J.
 PA G.D. Searle and Co., USA
 SO U.S., 62 pp., Cont.-in-part of U.S. Ser. No. 401,838, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6169085	B1	20010102	US 1999-411374	19991004
US 6380188	B1	20020430	US 2000-672449	20000929
US 2003191166	A1	20031009	US 2002-82123	20020226
US 6667307	B2	20031223		
US 2004147758	A1	20040729	US 2003-677729	20031003
PRAI US 1995-401838	B2	19950310		
WO 1996-US2682	A1	19960307		
US 1997-913069	A1	19971219		
US 1999-411374	A1	19991004		
US 2000-672449	A1	20000929		
US 2002-82123	A1	20020226		
OS MARPAT 134:71903				
GI				



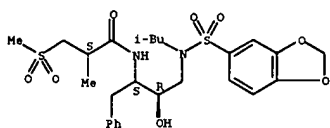
AB Sulfonylalkanylamino hydroxyethylamino sulfonamide compds.
 R5S(O)(t(CH2)nCH(R1)CONHCH2CH2OH)CH2NR3SO2R4 (R1 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, CH2CONH2, CH2CH2CONH2, CH2SO2NH2, CH2SMe, CH2SOMe, CH2SO2Me; R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylmethyl; R4 = benzo-fused heteroaryl or heterocyclyl; R5 = alkyl, alkenyl, alkynyl, aryl; t = 0-2) were prepared as retroviral protease inhibitors. Thus, N-(2R-hydroxy-3-[(2-methylpropyl)[[(1,3-benzodioxol-5-yl)sulfonyl]amino]-1S-(phenylmethyl)propyl]-2S-methyl-3-(methylsulfonyl)propanamide was prepared and assayed for HIV protease inhibitory activity (IC50 = 2 nM; EC50 = 20 nM). The corresponding methylsulfinyl derivative I (claimed compound) showed

IC50 values 2 and 7 nM and EC50 values 52 and 80 nM for the two isomers.

IT 174303-66-3P 183004-72-0P 183004-73-1P
 183004-74-2P 183004-75-3P 183004-76-4P
 183004-77-5P 183004-78-6P 183182-29-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

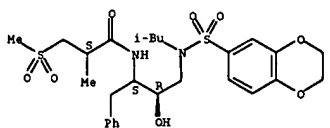
L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 study, unclassified); SPH (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of sulfonylalkanylamino hydroxyethylamino sulfonamide
 retroviral protease inhibitors)
 RN 174303-66-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



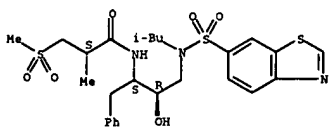
RN 183004-72-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-73-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

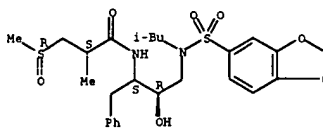
Absolute stereochemistry.



RN 183004-74-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(1,3-benzodioxol-5-yl)sulfonyl](2-

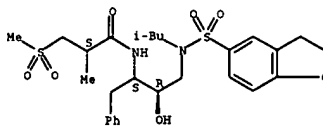
L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-
 methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



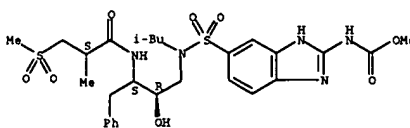
RN 183004-75-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-76-4 CAPLUS
 CN Carbamic acid, [5-[[[(2R,3S)-2-hydroxy-3-[[[(2S)-2-methyl-3-(methylsulfonyl)-1-oxopropyl]amino]-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

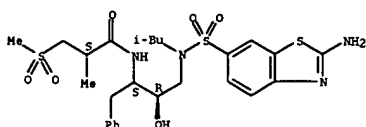
Absolute stereochemistry.



RN 183004-77-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

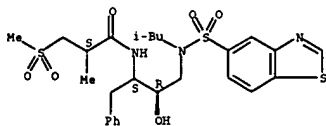
Absolute stereochemistry.

L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



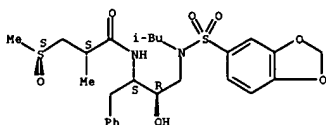
RN 183004-78-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonamido)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183182-29-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonamido)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 183004-99-1P 183005-01-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of sulfonylethylamino hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 183004-99-1 CAPLUS
 CN Ethanesulfonic acid, S-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonamido)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

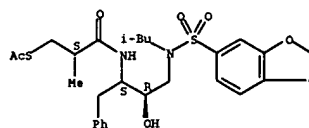
AN 2000:821607 CAPLUS
 IN 133:350519
 TI Synthesis of bis-amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors
 IN Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan Raj; Brown, David L.; McDonald, Joseph J.
 PA G.D. Searle and Co., USA
 SO U.S., 148 pp., Cont.-in-part of U.S. Ser. No. 402,450, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FI US 6150556	A	20001121	US 1995-479071	19950607
CA 2215025	AA	19960919	CA 1996-2215025	19960307
WO 9628464	A1	19960919	WO 1996-025265	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9653561	A1	19961002	AU 1996-53561	19960307
AU 704360	B2	19990422		
BR 9607543	A	19971223	BR 1996-7543	19960307
EP 813543	A1	19971229	EP 1996-910337	19960307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1196732	A	19981021	CN 1996-193618	19960307
JP 11501921	T2	19990216	JP 1996-527649	19960307
EP 1076062	A1	20010214	EP 2000-114911	19960307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
EP 1188766	A1	20020320	EP 2001-129219	19960307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
PL 185108	B1	20030228	PL 1996-322163	19960307
PL 185543	B1	20030530	PL 1996-352508	19960307
KE 4376	B1	20041015	KE 1997-200	19960307
CZ 294966	B6	20050413	CZ 1997-2825	19960307
NO 9704149	A	19971105	NO 1997-4149	19970909
US 6316496	B1	20011113	US 2000-495334	20000201
US 6388132	B1	20020514	US 2000-694783	20001024
US 2003204097	A1	20031030	US 2002-97642	20020315
US 6683210	B2	20040127		
US 6861539	B1	20050301	US 2003-638479	20030812
PRAI US 1995-402450	B2	19950310		
US 1995-479071	A	19950607		
EP 1996-910337	A3	19960307		
WO 1996-025265	W	19960307		
US 1998-913096	A1	19980121		
US 2000-495334	A1	20000201		
US 2000-694783	A1	20001024		
US 2002-97642	A1	20020315		

OS MARPAT 133:350519
 AB Peptides R13NHCH2CONHCH(R1)CONHCH(CH2Ph)CH(OH)CH2N(Bu-1)SO2R4 (R1 = C1-alkyl, C2-alkynyl; R4 = aryl; R13 = aralkyl, cycloalkyl, alkoxyalkyl), including stereoisomers, pharmaceutically acceptable salts,

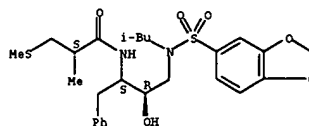
L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



RN 183005-01-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonamido)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



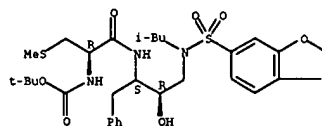
RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AN 2000:821607 CAPLUS
 IN 133:350519
 TI Synthesis of bis-amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors
 IN Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan Raj; Brown, David L.; McDonald, Joseph J.
 PA G.D. Searle and Co., USA
 SO U.S., 148 pp., Cont.-in-part of U.S. Ser. No. 402,450, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 3

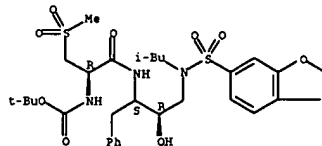
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of bis-amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)
 RN 183553-90-4 CAPLUS
 CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonamido)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183553-91-5 CAPLUS
 CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonamido)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

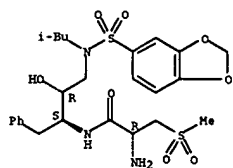
Absolute stereochemistry.



RN 183553-92-6 CAPLUS
 CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonamido)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

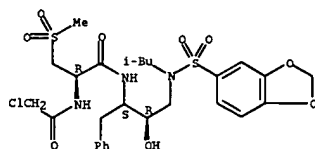
L4 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

RN 183553-93-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



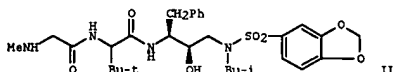
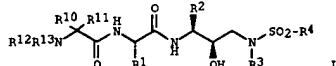
RE.CNT 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:784383 CAPLUS
 DN 133:335463
 TI Synthesis of bis-amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors
 IN Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Belekudru; Nagarajan, Srinivasan Raj; Brown, David L.; McDonald, Joseph J.
 PA G.D. Searle and Co., USA
 SO U.S., 148 pp., Cont.-in-part of U.S. Ser. No. 402,450, abandoned.
 CODEN: USXGAM
 DT Patent
 LA English
 FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6143788	A	20001107	US 1998-913096	19980121
WO 9628464	A1	19960919	WO 1996-US2685	19960307
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN			
EP 1188766	A1	20020320	EP 2001-129219	19960307
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI			
US 6388132	B1	20020514	US 2000-694783	20001024
US 2003204097	A1	20031030	US 2002-97642	20020315
US 6683210	B2	20040127		
US 6861539	B1	20050301		
PRAI US 1995-402450	B2	19950310	US 2003-638479	20030812
WO 1996-US2685	W	19960307		
US 1995-479071	A	19950607		
EP 1996-910337	A3	19960307		
US 1998-913096	A1	19980121		
US 2000-495334	A1	20000201		
US 2000-694783	A1	20001024		
US 2002-97642	A1	20020315		
OS HARFAT 133:335463				
GI				

L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



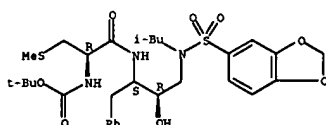
AB Peptides I [R1 = alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, imidazolylmethyl, CH2CONH2, CH2CH2CONH2, CH2SO2NH2, CH2SMe, CH2S(O)Me, CH2SO2Me, Me2S(O)Me, Me2S(O)Me, R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl, R3 = alkyl, cycloalkyl, cycloalkylmethyl, R4 = aryl or benzo-fused 5-6 membered heteroaryl or heterocyclyl; R10 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R11 = any group given for R10 or benzyl, imidazolylmethyl, CH2CH2CONH2, CH2CONH2, CH2CH2SMe, CH2SMe or sulfone or sulfonamide derivative; R12, R13 = H, alkyl, aralkyl, heteroaralkyl, cycloalkyl, cycloalkylalkyl, hydroxyalkyl, alkoxyalkyl, aryl or heteroaryl, where cycloalkyl or heteroaryl may be benzo fused (with provisos)] were prepared as retroviral protease inhibitors. Thus, compound II was prepared and shown to be an effective HIV protease inhibitor (IC50 = 2 nM, EC50 = 18 nM).

IT 183553-90-4p 183553-91-5p 183553-92-6p

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of bis-amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 183553-90-4 CAPLUS
 CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

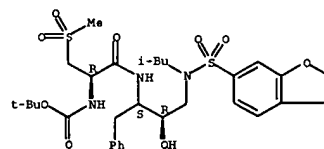


RN 183553-91-5 CAPLUS

L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

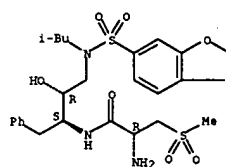
CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183553-92-6 CAPLUS
 CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

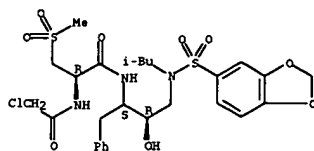


● HCl

RN 183553-93-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:769109 CAPLUS
 DN 133:322130
 TI Synthesis of benzo-fused heterocyclic sulfonyl chlorides for preparation of amino acid hydroxyethylamine sulfonamide retroviral protease inhibitors
 IN Kunda, Sastry A.; Letendre, Leo J.; De Crescenzo, Gary A.
 PA G.D. Searle and Co., USA
 SO U.S., 95 pp., Cont.-in-part of U.S. 5,756,533.
 CODEN: USOXAM
 DT Patent
 LA English
 FAN.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6140505	A	20001031	US 1998-80928	19980519
US 5756533	A	19980526	US 1995-474052	19950607
EP 1258491	A1	20021120	EP 2002-11526	19960307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
WO 9959989	A1	19991125	WO 1999-US7047	19990518
V: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9938604	A1	19991206	AU 1999-38604	19990518
US 6310080	B1	20011030	US 1999-451920	19991201
US 2002111368	A1	20020815	US 2001-836443	20010418
US 6458785	B2	20021001		
US 2003216435	A1	20031120	US 2002-200589	20020723
US 6730669	B2	20040504		
US 2004260095	A1	20041223	US 2004-760125	20040120
PRAI US 1995-402287	B2	19950310		
US 1995-474052	A2	19950607		
US 1995-391873	B2	19950222		
EP 1996-907135	A3	19960307		
US 1998-80928	A1	19980519		
WO 1999-US7047	W	19990518		
US 1999-451920	A3	19991201		
US 2001-836443	A1	20010418		
US 2002-200589	A1	20020723		

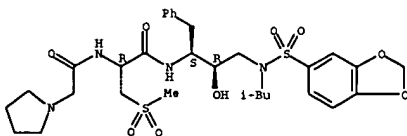
CASREACT 133:322130; MARPAT 133:322130
 AB Benzo-fused heterocyclic sulfonyl halides for the preparation of amino acid hydroxyethylamine sulfonamide retroviral protease inhibitors were obtained by a process comprising reacting a benzo-fused heterocyclic compound with an SO₃ complex in the presence of a water immiscible, non-reactive solvent at 0-75°, cooling, if necessary, to a temperature of from about -25° to about 65° and then adding oxalyl halide. Thus, N-[2-hydroxy-3-[(1,3-benzodioxol-5-yl)sulfonyl] (2-methylpropyl)amino]-15-(phenylmethyl)propyl]-2S-[(pyrrolidin-1-yl)acetyl]amino]-3,3-dimethylbutanamide was prepared and shown to be an effective HIV protease inhibitor (IC₅₀ = 3 nM, EC₅₀ = 7 nM).
 IT 183812-50-2P

L4 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (synthesis of benzo-fused heterocyclic sulfonyl chlorides for prep. of amino acid hydroxyethylamine sulfonamide retroviral protease inhibitors)

RN 183812-50-2 CAPLUS
 CN 1-Pyrrolidineacetamide, N-[(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl] (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

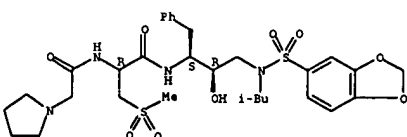


IT 183553-89-1P 183553-90-4P 183553-91-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of benzo-fused heterocyclic sulfonyl chlorides for preparation of amino acid hydroxyethylamine sulfonamide retroviral protease inhibitors)

RN 183553-89-1 CAPLUS
 CN 1-Pyrrolidineacetamide, N-[(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl] (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



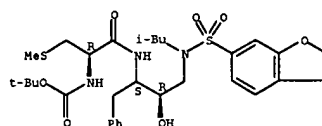
● HCl

RN 183553-90-4 CAPLUS
 CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl] (2-

L4 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

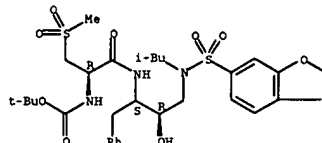
methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183553-91-5 CAPLUS
 CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl] (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

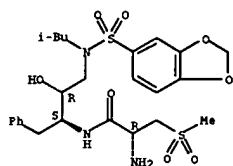
Absolute stereochemistry.



RN 183553-92-6 CAPLUS
 CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl] (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

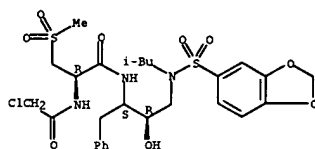
L4 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



● HCl

RN 183553-93-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-phenylmethyl]propyl]-2-[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN

AN 1999:753229 CAPLUS

DN 132:6692

TI benzo fused heterocycle sulfonyl halide intermediates for the preparation of amino acids as HIV protease inhibitors

IN Kunda, Sastry A.; Letendre, Leo J.; De Crescenzo, Gary A.

PA G.D. Searle and Co., USA

SO PCT Int. Appl., 221 pp.

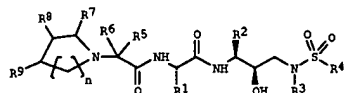
CODEN: PIXKDD

DT Patent

LA English

FAN.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9959989	A1	19991125	WO 1999-US7047	19990518
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6140505	A	20001031	US 1998-80928	19980519
AU 9938604	A1	19991206	AU 1999-38604	19990518
US 2002111368	A1	20020815	US 2001-836443	20010418
US 6458785	B2	20021001		
PRAI US 1998-80928	A1	19980519		
US 1995-402287	B2	19950310		
US 1995-474052	A2	19950607		
WO 1999-US7047	W	19990518		
US 1999-451920	A3	19991201		
OS MARPAT 132:6692				
GI				



AB Sulfonyl amino acids I (R1 = alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, imidazolylmethyl, CH2CONH2, CH2CH2CONH2, CH2SO2NH2, CH2SMe, CH2SOMe, CH2SO2Me, CH2SOMe, CH2SOMe; R2 = alkyl, alkylthioalkyl, arylthioalkyl, cycloalkyl; R3 = alkyl, cycloalkyl, cycloalkylalkyl; R4 = substituted heterocycle, R5 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R6 = H, alkyl, hydroxyalkyl, alkoxyalkyl, alkylamide, sulfone, alkylthioalkyl; R7-R9 = H, substituted heteroaryl, benzo) were prepared as HIV protease inhibitors. Process for preparing a benzo

L4 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

fused heterocycle sulfonyl halide intermediate, comprising reacting a benzo fused heterocyclic compd. with a -SO3- complex in the presence of a solvent and then adding oxalyl halide. Thus, amino acid I (R1 = CHMeEt, R2 = Bn, R3 = CH2CHMe3, R4 = Ph, R5-R9 = H, n = 1) was prepd. and tested as HIV protease inhibitor (IC50 = 4 nM).

IT 183553-89-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(benzo fused heterocycle sulfonyl halide intermediates for the

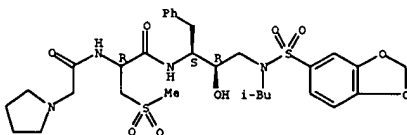
preparation

of amino acids as HIV protease inhibitors)

RN 183553-89-1 CAPLUS

CN 1-Pyrrolidineacetamide, N-[(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-phenylmethyl]propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 183553-90-4P 183553-91-5P 183553-92-6P

183553-93-7P 183512-50-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(benzo fused heterocycle sulfonyl halide intermediates for the

preparation

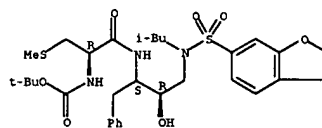
of amino acids as HIV protease inhibitors)

RN 183553-90-4 CAPLUS

CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-phenylmethyl]propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

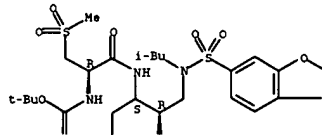
L4 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



RN 183553-91-5 CAPLUS

CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-phenylmethyl]propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

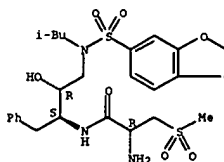
Absolute stereochemistry.



RN 183553-92-6 CAPLUS

CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-phenylmethyl]propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



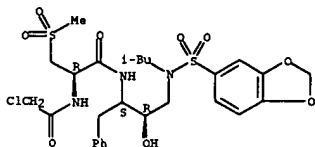
● HCl

RN 183553-93-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-phenylmethyl]propyl]-2-

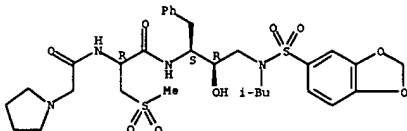
L4 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)
[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183812-50-2 CAPLUS
CN 1-Pyrrolidineacetamide, N-[(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CMT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN

AN 1999:733035 CAPLUS

DN 131:337352

TI Preparation of sulfonylalkenylamino hydroxyethylamino sulfonamide retroviral protease inhibitors

IN Getman, Daniel P.; DeCrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan; McDonald, Joseph J.

FA G.D. Searle and Co., USA

SO U.S., 59 pp., Cont.-in-part of U.S. Ser. No. 401,838, abandoned.

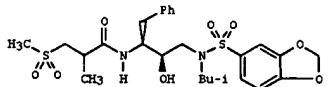
CODEN: USXXAM

DT Patent

LA English

FAN.CMT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FI US 5965870	A	19991116	US 1997-913069	19971219
WO 9628418	A1	19960919	WO 1996-US2682	19960307
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA			
US 6380188	B1	20020430	US 2000-672449	20000929
US 2003191166	A1	20031009	US 2002-82123	20020226
US 6667307	B2	20031223		
US 2004147758	A1	20040729	US 2003-677729	20031003
PRAI US 1995-401838	B2	19950310		
WO 1996-US2682	W	19960307		
US 1995-478625	A2	19950607		
US 1997-913069	A1	19971219		
US 1999-411374	A1	19991004		
US 2000-672449	A1	20000929		
US 2002-82123	A1	20020226		
OS MARPAT 131:337352				
GI				



AB Sulfonylalkenylamino hydroxyethylamino sulfonamide compds.

R5S(O)t(CH2)nCH(R1)CONHCH(R2)CH(OH)CH2NR3SO2R4 (R1 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, CH2CONH2, CH2CH2CONH2, CH2SO2NH2, CH2SMe, CH2SOMe, CH2SO2Me; R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylmethyl; R4 = benzo-fused heteroaryl or heterocyclyl; n, t = 0-2) were prepared as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-[(2-

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

methylpropyl]-(1,3-benzodioxol-5-yl)sulfonylamino]-1S-(phenylmethyl)propyl]-2S-methyl-3-(methylsulfonyl)propanamide (I) was prepd. and assayed for HIV protease inhibitory activity (IC50 = 2 nM; EC50 = 20 nM).

IT 174303-66-3P 183004-72-0P 183004-73-1P

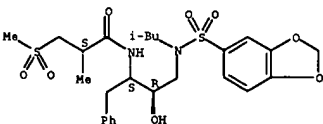
183004-74-2P 183004-78-6P 183182-29-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonylalkenylamino hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 174303-66-3 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

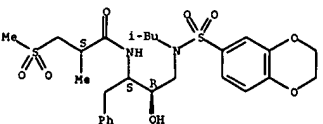
Absolute stereochemistry.



RN 183004-72-0 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl] (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

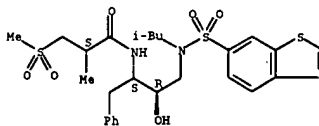


RN 183004-73-1 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl) (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

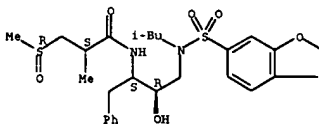
L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



RN 183004-74-2 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

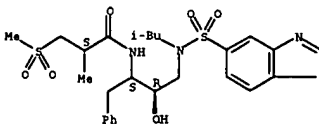
Absolute stereochemistry.



RN 183004-78-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl) (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

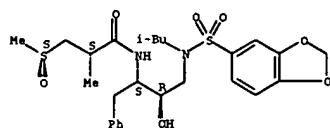


RN 183182-29-8 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

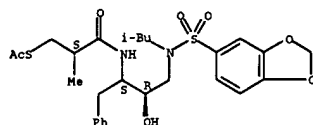
Absolute stereochemistry.

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



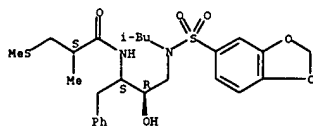
IT 183004-99-1P 183005-01-8P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of sulfonylalkenylamino hydroxyethylamino sulfonamide retroviral protease inhibitors)
 RN 183004-99-1 CAPLUS
 CN Ethanethioic acid, S-[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183005-01-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



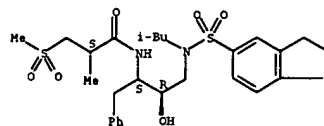
IT 183004-75-3P 183004-76-4P 183004-77-5P
 RI: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of sulfonylalkenylamino hydroxyethylamino sulfonamide)

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)
 RE.CNT 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

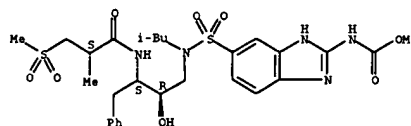
retroviral protease inhibitors)
 RN 183004-75-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuran-2-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



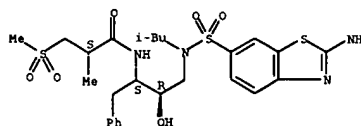
RN 183004-76-4 CAPLUS
 CN Carbamic acid, [5-[[[(2R,3S)-2-hydroxy-3-[(2S)-2-methyl-3-(methylsulfonyl)-1-oxopropyl]amino]-4-phenylbutyl]-(2-methylpropyl)amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-77-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2-amino-6-benzothiazolyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

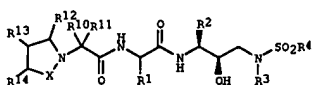
Absolute stereochemistry.



L4 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN

AN 1999:671016 CAPLUS
 DN 131:286828
 TI Preparation of amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors
 IN Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan R.; Brown, David L.; McDonald, Joseph J.
 PA G.D. Searle and Co., USA
 SO U.S., 96 pp., Cont.-in-part of U.S. Ser. No. 402,287, abandoned.
 CODEM: USXXAM
 DT Patent
 LA English
 FAN.CNT 5

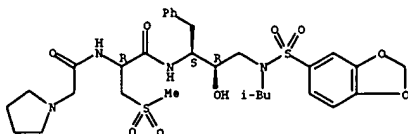
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5968970	A	19991019	US 1998-894900	19980102
WO 9628463	A1	19960919	WO 1996-US2684	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
EP 1258491	A1	20021120	EP 2002-11526	19960307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
US 2002111368	A1	20020815	US 2001-836443	20010418
US 6458785	B2	20021001		
PRAI US 1995-402287	B2	19950310		
WO 1996-US2684	W	19960307		
US 1995-474052	A2	19950607		
EP 1996-907135	A3	19960307		
US 1999-451920	A3	19991201		
OS MARPAT 131:286828				
GI				



AB Amino acid hydroxyethylamino sulfonamide compds. I [X = CH₂, CH₂CH₂; R₁ = alkyl, alkenyl, alkynyl, hydroxy-, alkoxy-, or cyanoalkyl, imidazolylmethyl, CH₂CONH₂, CH₂CH₂CONH₂, CH₂SO₂NH₂, CH₂SH, CH₂S(O)Me, CH₂SO₂Me, CH₂Me, CH₂S(O)Me, CH₂SO₂Me; R₂ = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R₃ = alkyl, cycloalkyl, cycloalkylmethyl; R₄ = aryl, benzo-fused heteroaryl or heterocyclyl; R₁₀ = H, alkyl, hydroxy- or alkoxyalkyl; R₁₁ = H, alkyl, hydroxyalkyl, alkoxyalkyl, benzyl, imidazolylmethyl, CH₂CH₂CONH₂, CH₂CONH₂, CH₂CH₂SH, CH₂SH, CH₂S(O)Me, CH₂SO₂Me; R₁₂ = H, hydroxyalkyl, alkoxyalkyl; R₁₃, R₁₄ = H, OH, alkoxy, 2-hydroxyethoxy, hydroxyalkyl, or alkoxyalkyl or R₁₃ and R₁₄ together form (un)substituted benzo or heteroaryl] or their pharmaceutically acceptable salts, prodrugs, or esters were prepared as retroviral protease inhibitors. Thus, 2S-(pyrrolidinoacetamido)-N-(2R-hydroxy-3-[(N1-(2-methylpropyl)-N1-(2,3-dihydrobenzofuran-5-

L4 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)
 ylsulfonyl)amino]-15-(phenylmethyl)propyl]-35-methylpentanamide was prepd.
 and showed IC50 = 2 nM for inhibition of HIV protease.
 IT 183553-89-18 183512-50-29
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amino acid hydroxyethylamino sulfonamides as retroviral
 protease inhibitors)
 RN 183553-89-1 CAPLUS
 CN 1-Pyrrolidineacetamide, N-[(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-
 ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-
 1-[(methylsulfonyl)methyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA
 INDEX NAME)

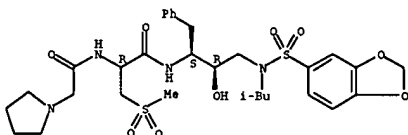
Absolute stereochemistry.



● HCl

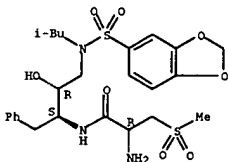
RN 183812-50-2 CAPLUS
 CN 1-Pyrrolidineacetamide, N-[(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-
 ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-
 1-[(methylsulfonyl)methyl]-2-oxoethyl]-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 183553-90-4P 183553-91-5P 183553-92-6P
 183553-93-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of amino acid hydroxyethylamino sulfonamides as retroviral

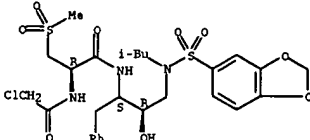
L4 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



● HCl

RN 183553-93-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-
 methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-
 [(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

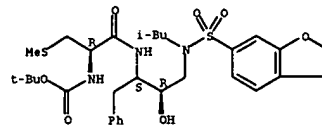
Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

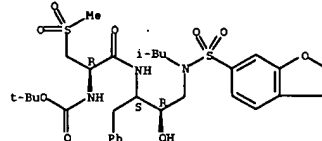
L4 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)
 protease inhibitors)
 RN 183553-90-4 CAPLUS
 CN Carbanic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-
 methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-
 [(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



RN 183553-91-5 CAPLUS
 CN Carbanic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-
 methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-
 [(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



RN 183553-92-6 CAPLUS
 CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-
 methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-,
 monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

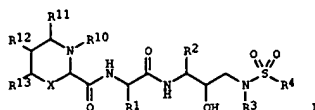
L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN
 AN 1998:471469 CAPLUS
 DN 129:122867
 TI Heterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide retroviral
 protease inhibitors
 IN Getman, Daniel P.; DeCrescenzo, Gary A.; Freskos, John N.; Vazquez,
 Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan;
 Brown, David L.; McDonald, Joseph J.
 PA G.D. Searle and Co., USA
 SO U.S., 75 pp., Cont.-in-part of U. S. Ser. No. 402,419, abandoned.
 COUEN: USXXAM

DT Patent
 LA English

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5776971	A	19980707	US 1995-474117	19950607
CA 2215022	AA	19960919	CA 1996-2215022	19960307
WO 9628465	A1	19960919	WO 1996-US2683	19960307
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LA, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA			
AU 9654178	A1	19961002	AU 1996-54178	19960307
AU 717598	B2	20000330		
EP 815124	A1	19980107	EP 1996-911230	19960307
EP 815124	B1	20021204		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
CN 1183102	A	19980527	CN 1996-193619	19960307
JP 11501920	T2	19990216	JP 1996-527647	19960307
BR 9607625	A	19990615	BR 1996-7625	19960307
RU 2174519	C2	20011010	RU 1997-116523	19960307
AT 229033	E	20021215	AT 1996-911230	19960307
PL 184771	B1	20021231	PL 1996-322179	19960307
PT 815124	T	20030430	PT 1996-911230	19960307
ES 2190793	T3	20030816	ES 1996-911230	19960307
NO 9704147	A	19971104	NO 1997-4147	19970909
US 5972989	A	19991026	US 1998-28272	19980224
US 6063795	A	20000516	US 1999-307711	19990510
US 6214861	B1	20010410	US 2000-501265	20000209
US 6407134	B1	20020618	US 2001-775682	20010205
US 2003130202	A1	20030710	US 2002-120791	20020412
US 6673822	B2	20040106		
US 2004198989	A1	20041007		
US 1995-402419	B2	19950310	US 2003-715652	20031119
US 1995-392305	B2	19950410		
US 1995-474117	A	19950607		
WO 1996-US2683	W	19960307		
US 1998-28272	A1	19980224		
US 1999-307711	A1	19990510		
US 2000-501265	A1	20000209		
US 2001-775682	A1	20010205		
US 2002-120791	A1	20020412		
OS				
GI				

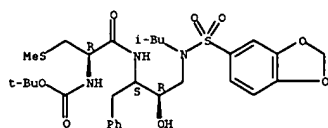
L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB Heterocyclic carbonyl amino acid hydroxyethylamino sulfonamide compds. I (X = bond or CH₂; R₁ = H, alkyl, alkenyl, alkynyl, imidazolylmethyl, CH₂CONH₂, CH₂SO₂NH₂, etc.; R₂ = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R₃ = alkyl, cycloalkyl, cycloalkylmethyl; R₄ = aryl, benzo-fused heteroaryl or heterocyclyl, etc.; R₁₀ = H, alkyl, benzyl, phenylmethoxycarbonyl, tert-butoxycarbonyl, 4-methoxyphenylmethoxycarbonyl; R₁₁ = H, hydroxyalkyl, alkoxyalkyl; R₁₂, R₁₃ = H, OH, alkoxy, 2-hydroxyethoxy, hydroxyalkyl, alkoxyalkyl; or R₁₁ and R₁₂ or R₁₂ and R₁₃ are optionally substituted benzo radical) were prepared as retroviral protease inhibitors. Thus, 2S-[(pyrrolidin-2-yl)carbonyl]amino-N-[2R-hydroxy-3-[(1,3-benzodioxol-5-yl)sulfonyl] (2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-3,3-dimethylbutanamide was assayed for protease inhibitory activity (IC₅₀ = 2 nM, EC₅₀ = 12 nM).

IT 183553-90-4P 183553-91-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (heterocyclic carbonyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)
 RN 183553-90-4 CAPLUS
 CN Carbanic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl] (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183553-91-5 CAPLUS
 CN Carbanic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl] (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

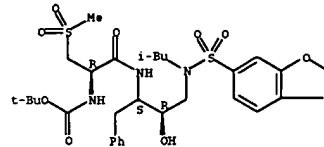
L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:392091 CAPLUS
 DN 129:41411
 TI Preparation of amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors
 IN Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Baleskudru; Nagarajan, Srinivasan; Brown, David L.; McDonald, Joseph J.
 PA G.D. Searle and Co., USA
 SO U.S., 93 pp., Cont.-in-part of U. S. Ser. No. 402,287, abandoned.
 CODEN USXOAM
 DT Patent
 LA English
 FAN.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5756533	A	19980526	US 1995-474052	19950607
CA 2215061	AA	19960919	CA 1996-2215061	19960307
WO 9628463	A1	19960919	WO 1996-US2684	19960307
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA			
AU 9650294	A1	19961002	AU 1996-50294	19960307
AU 705268	B2	19960520		
EP 813542	A1	19971229	EP 1996-907135	19960307
EP 813542	B1	20021016		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI			
CN 1186499	A	19980701	CN 1996-193620	19960307
JP 2001513746	T2	20010904	JP 1996-527648	19960307
AT 226213	B	20021115	AT 1996-907135	19960307
EP 1258491	A1	20021120	EP 2002-11526	19960307
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI			
PL 184748	B1	20021231	PL 1996-322784	19960307
PT 813542	T	20030131	PT 1996-907135	19960307
ES 2187640	T3	20030616	ES 1996-907135	19960307
EE 4349	B1	20040816	EE 1997-201	19960307
NO 9704148	A	19971027	NO 1997-4148	19970909
US 5965601	A	19991012	US 1998-33897	19980303
US 6140505	A	20001031	US 1998-80928	19980519
US 6310080	B1	20011030	US 1999-451920	19991201
US 2002111368	A1	20020815	US 2001-836443	20010418
US 6458785	B2	20021001		
US 2003216435	A1	20031120	US 2002-200589	20020723
US 6730669	B2	20040504		
US 2004260095	A1	20041223	US 2004-760125	20040120
PRAI 1995-402287	B2	19950310		
US 1995-391873	B2	19950222		
US 1995-474052	A	19950607		
EP 1996-907135	A3	19960307		
WO 1996-US2684	W	19960307		
US 1998-80928	A1	19980519		
US 1999-451920	A3	19991201		
US 2001-836443	A1	20010418		
US 2002-200589	A1	20020723		

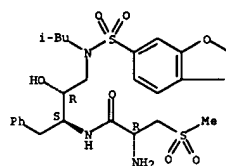
OS MARPAT 129:41411
 GI

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 183553-92-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (heterocyclic carbonyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)
 RN 183553-92-6 CAPLUS
 CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl] (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

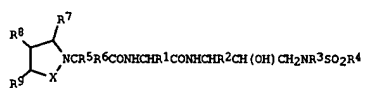
Absolute stereochemistry.



● HCl

RE.CNT 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

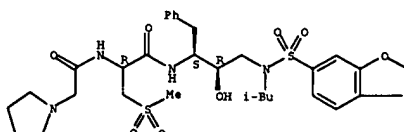


AB Amino acid hydroxyethylamino sulfonamide compds. I (X = CH₂ or CH₂CH₂; R₁ = alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, imidazolylmethyl, CH₂CONH₂, CH₂CH₂CONH₂, CH₂SO₂Me, CH₂SO₂Me or their sulfone or sulfoxide derivatives; R₂ = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R₃ = alkyl, cycloalkyl, cycloalkylmethyl; R₄ = aryl, benzo-fused heteroaryl or heterocyclyl; R₅ = H, alkyl, hydroxyalkyl, alkoxyalkyl; R₆ = H, alkyl, hydroxyalkyl, alkoxyalkyl, benzyl, imidazolylmethyl, CH₂CONH₂, CH₂CH₂CONH₂, CH₂CH₂SO₂Me, CH₂SO₂Me or their sulfone or sulfoxide derivs.; R₇ = H, hydroxyalkyl, alkoxyalkyl; R₈, R₉ = H, OH, alkoxy, 2-hydroxyethoxy, hydroxyalkyl, alkoxyalkyl; or R₇ and R₈ or R₈ and R₉ form a heteroaryl or benzo radical) were prepared as retroviral protease inhibitors. Thus, 2S-[(pyrrolidin-1-yl)acetyl]amino-N-[2R-hydroxy-3-[(1-[(2-methylpropyl)-N1-(2,3-dihydrobenzofuran-5-yl)sulfonyl]amino)-1S-(phenylmethyl)propyl]-3S-methylpentanamide, prepared from N-[3S-benzoyloxycarbonylamino-2R-hydroxy-4-phenylbutyl]-N-isobutylamine, tert-Bu bromoacetate, pyrrolidine, and 2,3-dihydrofuran, showed HIV protease inhibitory activity IC₅₀ = 2 nM.

IT 183553-89-1P 183512-50-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 183553-89-1 CAPLUS
 CN 1-Pyrrolidineacetamide, N-[(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl] (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



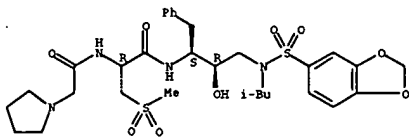
● HCl

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 183512-50-2 CAPLUS

CN 1-Pyrrolidinylacetamide, N-[(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 183553-90-4P 183553-91-5P 183553-92-6P

183553-93-7P

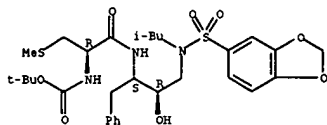
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 183553-90-4 CAPLUS

CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183553-91-5 CAPLUS

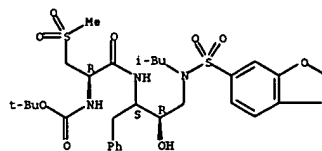
CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

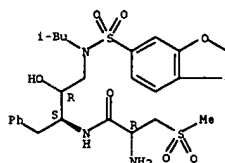
L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 183553-92-6 CAPLUS

CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

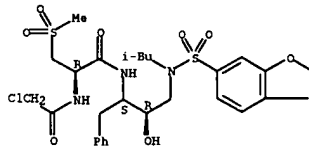


● HCl

RN 183553-93-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:324825 CAPLUS

DN 129:16390

TI Preparation of substituted sulfonylalkenylamino hydroxyethylamino

sulfonamide retroviral protease inhibitors

IN Sikorski, James A.; Getman, Daniel P.; Decrescenzo, Gary A.; Devadas,

Balekudru; Freskos, John N.; Lu, Hwang-fun; McDonald, Joseph J.

PA G.D. Searle and Co., USA

SO U.S., 131 pp.

CODEN: USXXAM

DT Patent

LA English

FAM.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5753660	A	19980519	US 1996-747357	19961113
PRAI US 1996-747357		19961113		
OS MARPAT 129:16390				

AB Sulfonylalkenylamino hydroxyethylamino sulfonamides
 RSS(O)m(CH2)nCH(R1)C(R2)(OH)CH2NR15O2R4 (R = O, S; m, n = 0, 1, or 2; R1 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, NH2COCH2, NH2COCH2CH2, NH2SO2CH2, MeSCH2, MeSOCH2, MeSO2CH2; R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylalkyl; R4 = aryl, heteroaryl, heterocyclyl; R5 = heteroaryl, heterocyclyl) were prepared as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-[(2-methylpropyl)(1,3-benzodioxol-5-yl)sulfonyl]amino]-1S-(phenylmethyl)propyl]-2S-methyl-3-[(2-(2-pyridyl)ethylsulfonyl)propanamide was prepared by alkylation of the corresponding 3-mercaptopropanamide with 2-(2-chloroethyl)pyridine hydrochloride, followed by 5-oxidation. The product was assayed for HIV protease inhibitory activity (IC50 = 3 nM, EC50 = 6 nM).

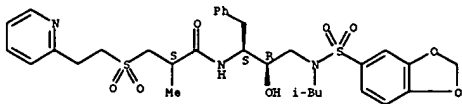
IT 191412-75-6P 191412-76-7P 191412-78-9P
 191412-79-0P 191412-80-3P 191412-81-4P
 191412-82-5P 191412-83-6P 191412-87-0P
 191412-88-1P 191412-91-6P 191412-92-7P
 191412-93-8P 191412-95-0P 191412-96-1P
 191412-97-2P 191412-99-4P 191413-00-0P
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 191413-04-4P 191413-05-5P 191413-06-6P
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 191413-10-2P 191413-11-3P 191413-12-4P
 191413-13-5P 191413-14-6P 191413-15-7P
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 191413-19-1P 191413-20-4P 191413-22-6P
 191413-24-8P 191413-25-0P 191413-29-3P
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 191413-38-4P 191413-40-8P 191413-43-1P
 191413-45-3P 191413-47-5P 191413-49-7P
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 191413-56-6P 191413-57-7P 191413-58-8P
 191413-61-3P 191413-62-4P 191413-64-6P
 191413-65-7P 191413-66-8P 191413-67-9P
 191413-68-0P 191413-69-1P 191413-70-4P
 191413-71-5P 191413-72-6P 191413-73-7P
 191413-75-9P 191413-77-1P 191413-79-3P
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 191413-88-4P 191414-00-3P 191414-06-9P
 207686-80-4P 207686-81-5P 207686-82-6P
 207686-83-7P 207686-84-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonylalkanoylethylamino hydroxyethylamino sulfonamide
retroviral protease inhibitors)

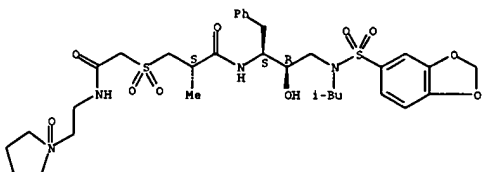
RN 191412-75-6 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-76-7 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-[(1-oxido-1-pyrrolidinyl)ethyl]amino]-2-oxoethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

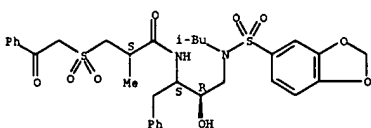


RN 191412-78-9 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-[(4-oxido-4-morpholinyl)ethyl]amino]-2-oxoethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

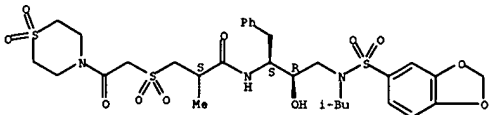
L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-phenylethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



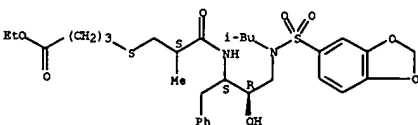
RN 191412-82-5 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[2-[(1,1-dioxido-4-thiomorpholinyl)-2-oxoethyl]sulfonyl]-2-methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-83-6 CAPLUS
CN Butanoic acid, 4-[[[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

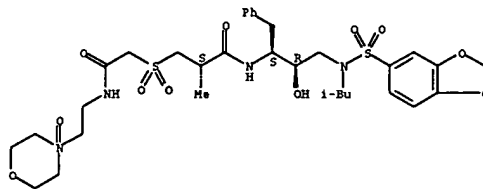
Absolute stereochemistry.



RN 191412-87-0 CAPLUS
CN Propanamide, 3-[(1H-benzimidazol-2-ylmethyl)sulfonyl]-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

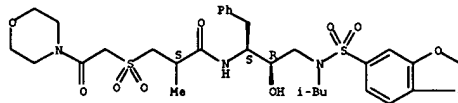
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



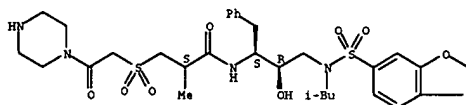
RN 191412-79-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-morpholinyl)-2-oxoethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-80-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(1-piperazinyl)ethyl]sulfonyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

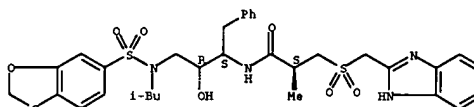
Absolute stereochemistry.



● HCl

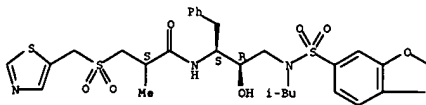
RN 191412-81-4 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(1-piperazinyl)ethyl]sulfonyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



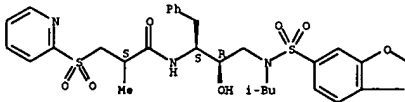
RN 191412-88-1 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[5-thiazolylmethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



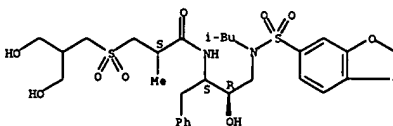
RN 191412-91-6 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(2-pyridinylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-92-7 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

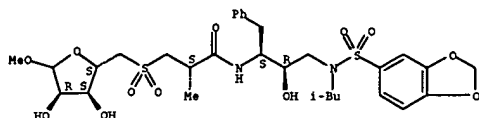
Absolute stereochemistry.



L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

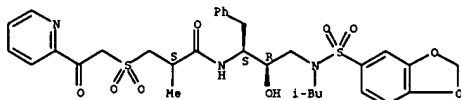
RN 191412-93-8 CAPLUS
 CN D-Ribofuranoside, methyl 5-[[[(2S)-3-[[[(1S,2R)-3-[[[1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]-5-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



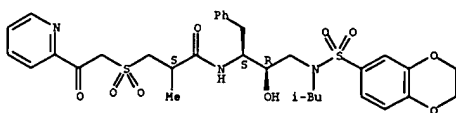
RN 191412-95-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonfyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-(2-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



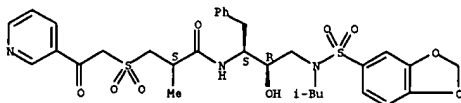
RN 191412-96-1 CAPLUS
 CN Propanamide, N-1-[(1S,2R)-3-[[(2,3-dihydro-1,4-benzodioxin-6-yl) sulfonyl] (2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl) propyl]-2-methyl-3-[[(2-oxo-2-(2-pyridinyl) ethyl) sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



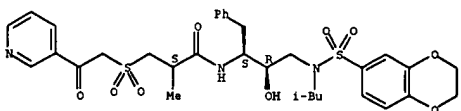
RN 191412-97-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-{(6-benzothiazolylsulfonyl)(2-methylpropyl)amino}-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-(2-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



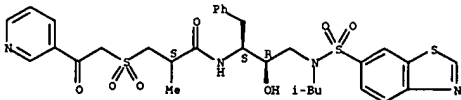
RN 191413-02-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-(3-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



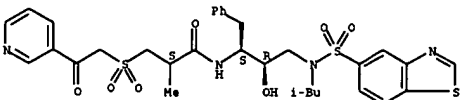
RN	191413-03-3	CAPLUS
CN	Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-(3-pyridinyl)ethyl)sulfonyl]-, (2S)-(5CI) (CA INDEX NAME)	

Absolute stereochemistry.



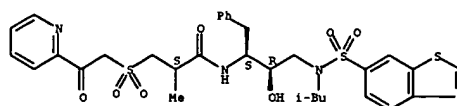
RN 191413-04-4 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[5-benzothiazolylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl)sulfonyl]-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



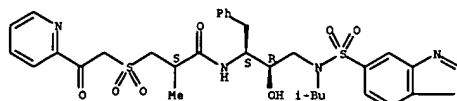
RN 191413-05-5 CAPLUS

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.



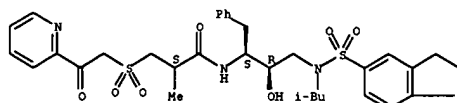
RN 191412-99-4 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[5-benzothiazolylsulfonfyl] (2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl) propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonfyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-00-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[2-(3,4-dihydro-5-benzofuranyl)sulfonyl]-2-methylpropyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

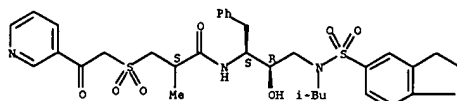


RN 191413-01-1 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

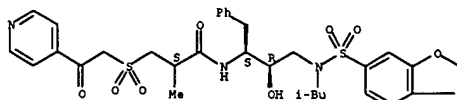
L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Propanamide, N-[(1S,2R)-3-[[2-(3,4-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-phenylmethyl]propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



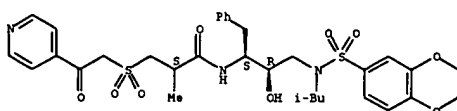
RN 191413-06-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-07-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[2,3-dihydro-1,4-benzodioxin-6-yl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

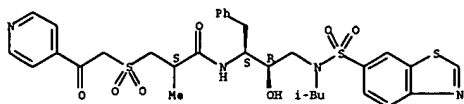
Absolute stereochemistry.



RN 191413-08-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-{[6-benzothiazoylsulfonyl] (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

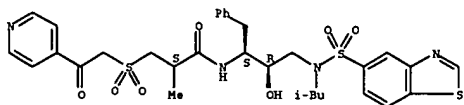
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



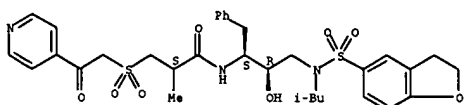
RN 191413-09-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-10-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

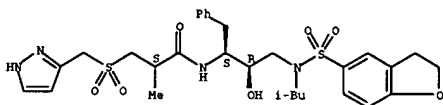
Absolute stereochemistry.



RN 191413-11-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

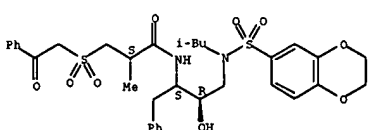
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



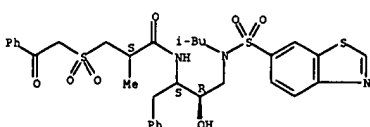
RN 191413-15-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-16-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

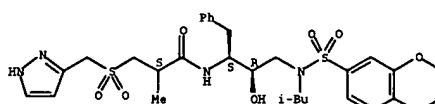
Absolute stereochemistry.



RN 191413-17-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

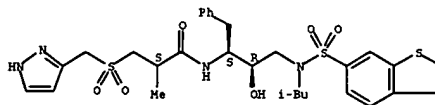
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



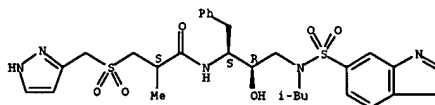
RN 191413-12-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-13-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

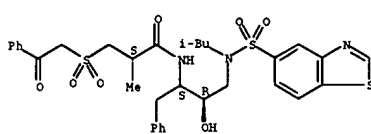
Absolute stereochemistry.



RN 191413-14-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

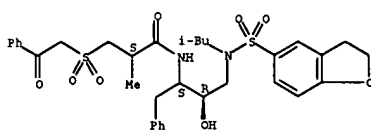
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



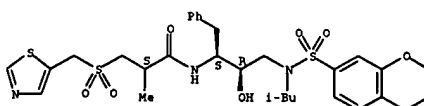
RN 191413-18-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-19-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

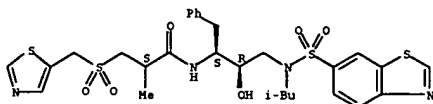
Absolute stereochemistry.



RN 191413-20-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

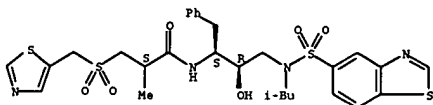
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



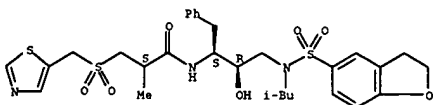
RN 191413-22-6 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-24-8 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

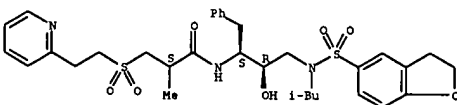
Absolute stereochemistry.



RN 191413-26-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(2-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

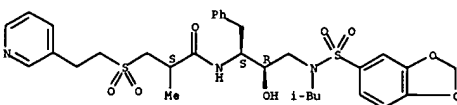
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



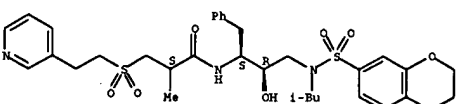
RN 191413-36-2 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(3-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



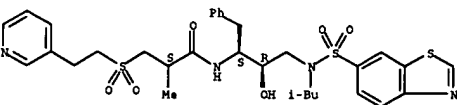
RN 191413-38-4 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(3-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



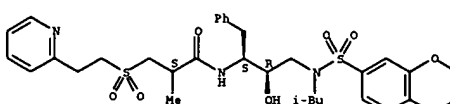
RN 191413-40-8 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(3-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



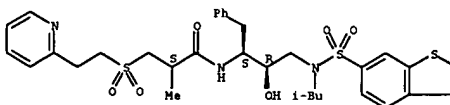
RN 191413-43-1 CAPLUS

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



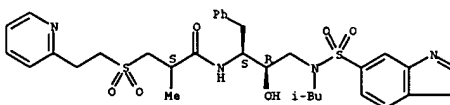
RN 191413-29-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(2-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-31-7 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(2-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

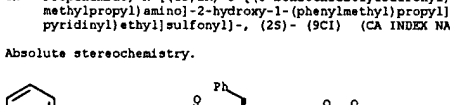
Absolute stereochemistry.



RN 191413-34-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(2-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

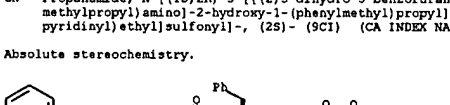
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



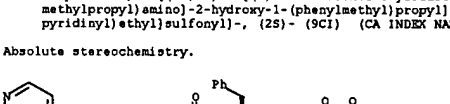
RN 191413-45-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(3-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-47-5 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

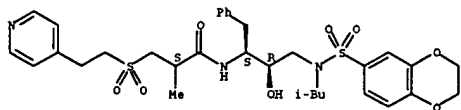
Absolute stereochemistry.



RN 191413-49-7 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

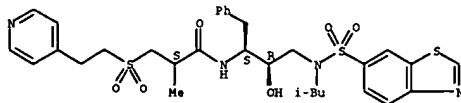
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



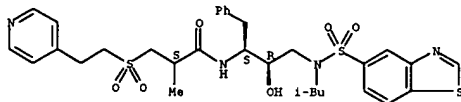
RN 191413-50-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-51-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

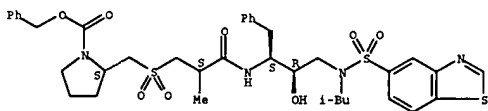
Absolute stereochemistry.



RN 191413-53-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

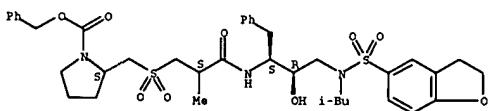
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



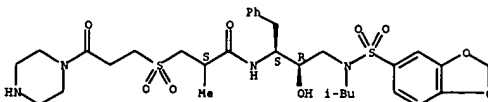
RN 191413-61-3 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(3-oxo-3-(1-piperazinyl)propyl)sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-62-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(3-oxo-3-(1-piperazinyl)propyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

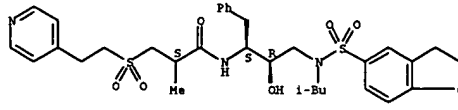
Absolute stereochemistry.



RN 191413-64-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(3-oxo-3-(1-piperazinyl)propyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

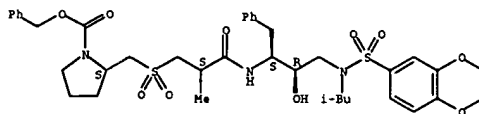
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



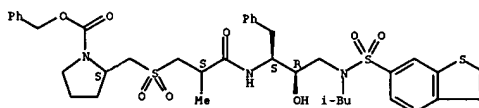
RN 191413-56-6 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-oxopropyl)sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-57-7 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-oxopropyl)sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

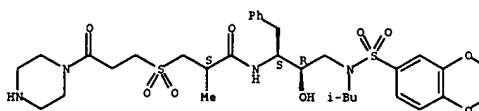
Absolute stereochemistry.



RN 191413-58-8 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-oxopropyl)sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

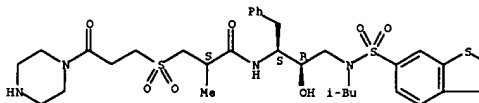
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



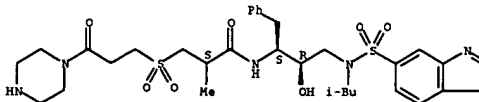
RN 191413-65-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(3-oxo-3-(1-piperazinyl)propyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



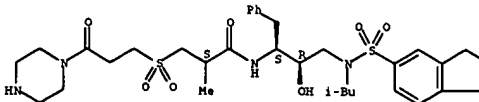
RN 191413-66-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(3-oxo-3-(1-piperazinyl)propyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-67-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(3-oxo-3-(1-piperazinyl)propyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

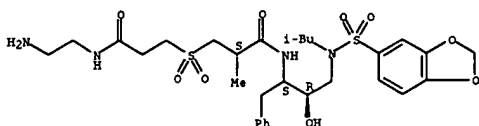
Absolute stereochemistry.



RN 191413-68-0 CAPLUS

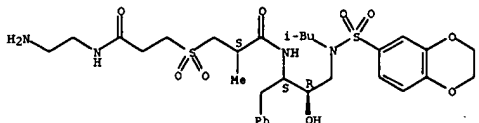
L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN Propanamide, 3-[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[1-(3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



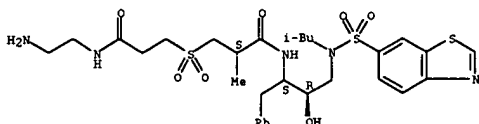
RN 191413-69-1 CAPLUS
 CN Propanamide, 3-[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[1-(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



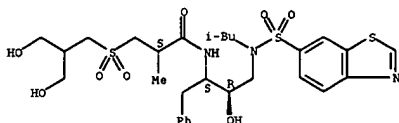
RN 191413-70-4 CAPLUS
 CN Propanamide, 3-[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[1-(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



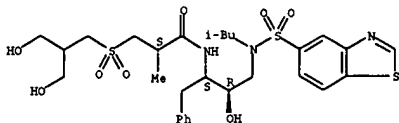
RN 191413-71-5 CAPLUS
 CN Propanamide, 3-[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[1-(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 Absolute stereochemistry.



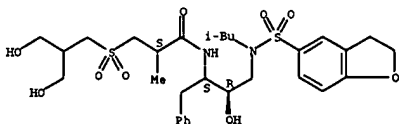
RN 191413-77-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[1-(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[1-(3-hydroxy-2-(hydroxymethyl)propyl)sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-79-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[1-(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[1-(3-hydroxy-2-(hydroxymethyl)propyl)sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

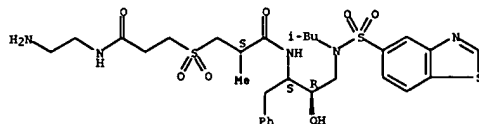


RN 191413-82-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[1-(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[1-(2R)-2-pyrrolidinylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

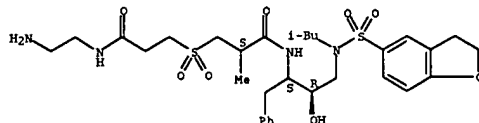
L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 (phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



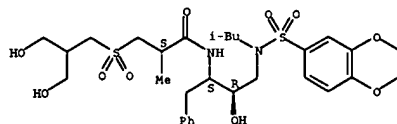
RN 191413-72-6 CAPLUS
 CN Propanamide, 3-[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[1-(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



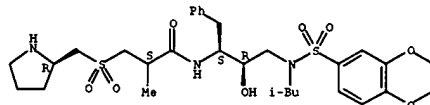
RN 191413-73-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[1-(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[1-(3-hydroxy-2-(hydroxymethyl)propyl)sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



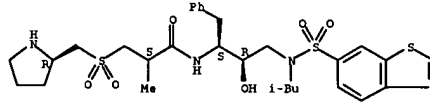
RN 191413-75-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[1-(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[1-(3-hydroxy-2-(hydroxymethyl)propyl)sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



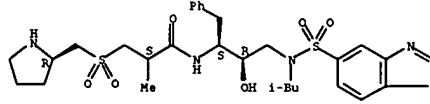
RN 191413-84-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[1-(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[1-(2R)-2-pyrrolidinylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



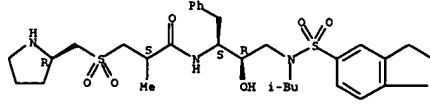
RN 191413-86-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[1-(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[1-(2R)-2-pyrrolidinylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-88-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[1-(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[1-(2R)-2-pyrrolidinylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

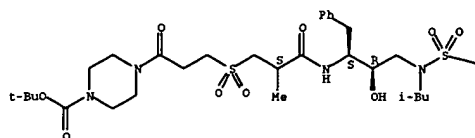


RN 191414-00-3 CAPLUS

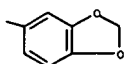
L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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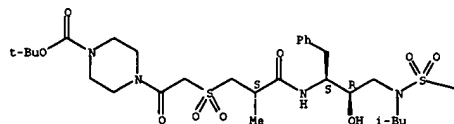
PAGE 1-B



RN 191414-06-9 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

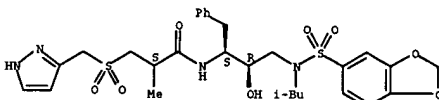
PAGE 1-A



L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CH 1

CRN 191412-85-8
 CMF C29 H38 N4 O8 S2

Absolute stereochemistry.



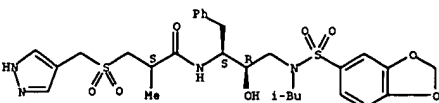
CH 2
 CRN 76-05-1
 CMF C2 H F3 O2



RN 207686-82-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-4-ylmethyl)sulfonyl]-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CH 1
 CRN 191412-86-9
 CMF C29 H38 N4 O8 S2

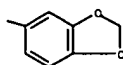
Absolute stereochemistry.



CH 2
 CRN 76-05-1
 CMF C2 H F3 O2

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

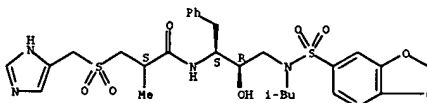
PAGE 1-B



RN 207686-80-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1H-imidazol-4-ylmethyl)sulfonyl]-2-methyl-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CH 1
 CRN 191412-84-7
 CMF C29 H38 N4 O8 S2

Absolute stereochemistry.



CH 2
 CRN 76-05-1
 CMF C2 H F3 O2



RN 207686-81-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

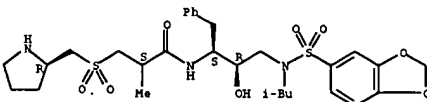
L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 207686-83-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-4-ylmethyl)sulfonyl]-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CH 1
 CRN 191412-90-5
 CMF C30 H43 N3 O8 S2

Absolute stereochemistry.



CH 2
 CRN 76-05-1
 CMF C2 H F3 O2

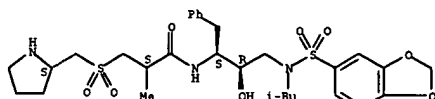


RN 207686-84-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2S)-2-pyrrolidinylmethyl)sulfonyl]-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CH 1
 CRN 191412-89-2
 CMF C30 H43 N3 O8 S2

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



CN 2

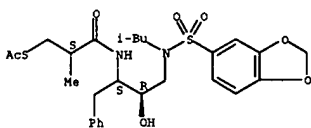
CRN 76-05-1
CMF C2 H F3 O2

IT 183004-99-1P 183005-01-8P 191413-54-4P
191413-92-0P 191413-94-2P 191413-98-6P
191414-04-7P 191414-05-8P 191414-07-0P
191414-09-2P 191414-10-5P 191414-11-6P
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191414-18-3P 191414-21-8P 191414-24-1P
191414-26-3P 191414-27-4P 191414-28-5P
191486-19-8P 191486-20-1P 207686-74-6P
207686-75-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of sulfonylethylamino hydroxyethylamino sulfonamide
retroviral protease inhibitors)

RN 183004-99-1 CAPLUS
CN Ethanethioic acid, S-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl] ester (9CI) (CA INDEX NAME)

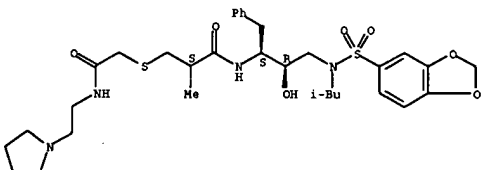
Absolute stereochemistry.



RN 183005-01-8 CAPLUS

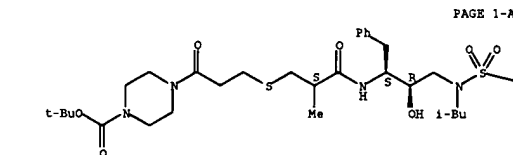
L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



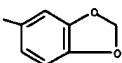
RN 191413-98-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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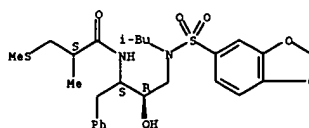


RN 191414-04-7 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropylthio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

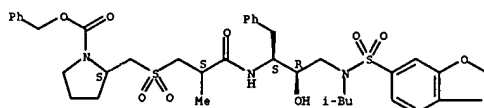
L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropylthio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



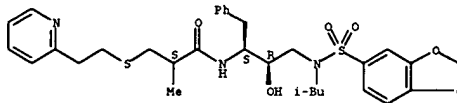
RN 191413-54-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



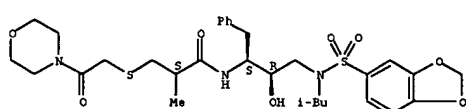
RN 191413-92-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropylthio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-94-2 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropylthio]-, (2S)- (9CI) (CA INDEX NAME)

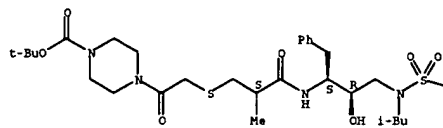
L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



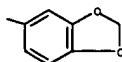
RN 191414-05-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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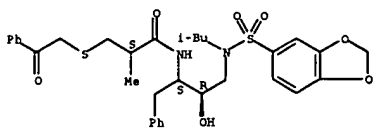
PAGE 1-B



RN 191414-07-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropylthio]-, (2S)- (9CI) (CA INDEX NAME)

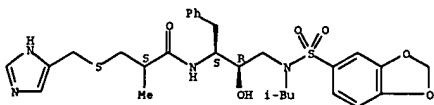
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



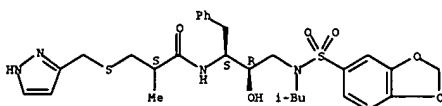
RN 191414-09-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1H-imidazol-4-ylmethyl)thio]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191414-10-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

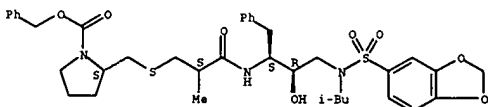
Absolute stereochemistry.



RN 191414-11-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-4-ylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

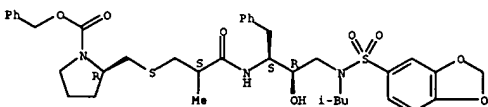
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



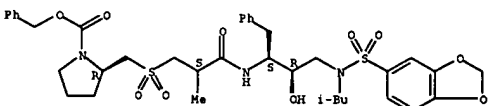
RN 191414-18-3 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]methyl]-, phenylmethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191414-21-8 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]methyl]-, phenylmethyl ester, (2R)- (9CI) (CA INDEX NAME)

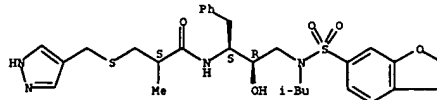
Absolute stereochemistry.



RN 191414-24-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(2-pyridinylthio)-, (2S)- (9CI) (CA INDEX NAME)

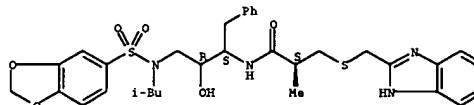
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



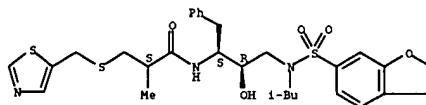
RN 191414-12-7 CAPLUS
 CN Propanamide, 3-[(1H-benzimidazol-2-ylmethyl)thio]-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191414-13-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

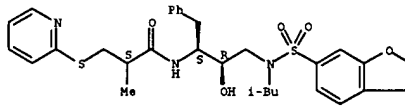
Absolute stereochemistry.



RN 191414-15-0 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

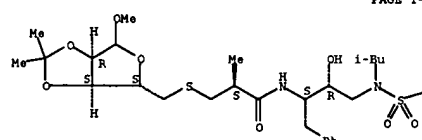
L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



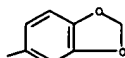
RN 191414-26-3 CAPLUS
 CN D-Ribofuranoside, methyl 5-S-[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]-2,3-O-(1-methylethylidene)-5-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

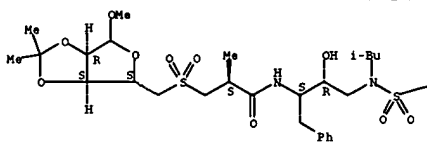


RN 191414-27-4 CAPLUS
 CN D-Ribofuranoside, methyl 5-S-[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]-5-deoxy-2,3-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

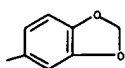
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

PAGE 1-A

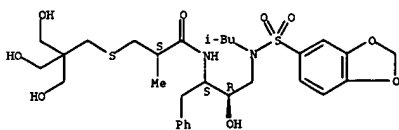


PAGE 1-B



RN 191414-28-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-phenyl-1,3-dioxan-5-yl)methyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

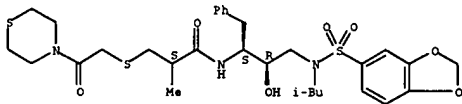


RN 191486-19-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-phenyl-1,3-dioxan-5-yl)methyl]thio]-, [5S(1S,2R)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

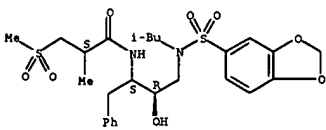
Absolute stereochemistry.



IT 174303-66-3P 183004-74-2P 183004-77-5P
 183182-29-8P 191412-77-8P 191412-84-7P
 191412-85-8P 191412-86-9P 191412-89-2P
 191412-90-5P 191412-94-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of sulfonylethylamino hydroxyethylamino sulfonamide
 retroviral protease inhibitors)

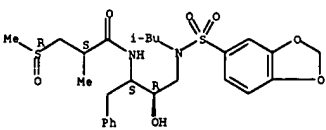
RN 174303-66-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-74-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

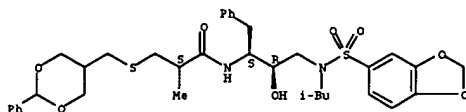
Absolute stereochemistry.



RN 183004-77-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

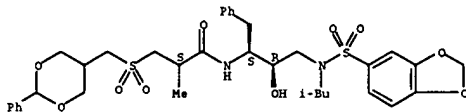
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



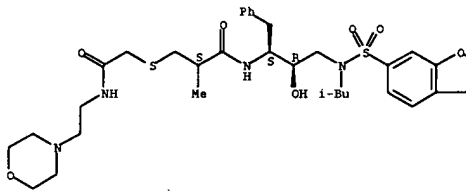
RN 191486-20-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-phenyl-1,3-dioxan-5-yl)methyl]thio]-, [5S(1S,2R)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



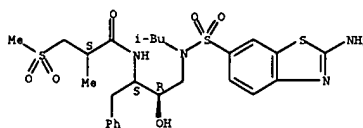
RN 207686-74-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-[(4-morpholinyl)ethyl]amino)-2-oxoethyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



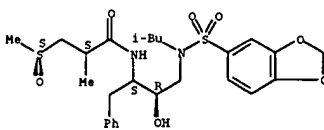
RN 207686-75-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-(4-thiomorpholinyl)ethyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



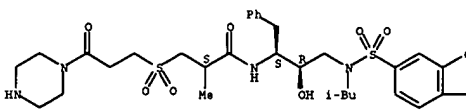
RN 183182-29-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-77-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(3-oxo-3-(1-piperazinyl)propyl)sulfonyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

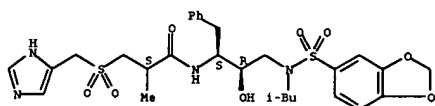


● HCl

RN 191412-84-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1H-imidazol-4-ylmethyl)sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

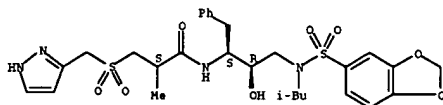
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



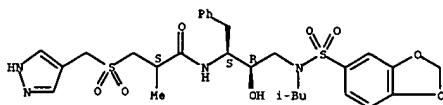
RN 191412-85-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-86-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-4-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

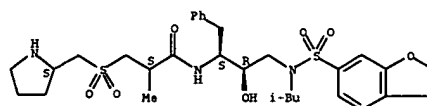
Absolute stereochemistry.



RN 191412-89-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2S)-2-pyrrolidinylmethylsulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

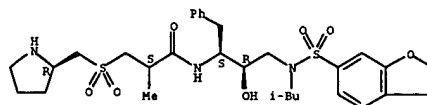
Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



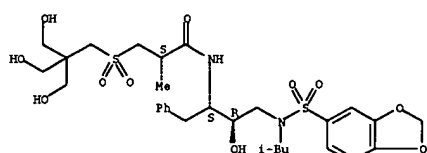
RN 191412-90-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2R)-2-pyrrolidinylmethylsulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-94-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[3-hydroxy-2,2-bis(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN

AN 1998:41716 CAPLUS
 DN 128:115228
 TI Preparation of sulfonylethylamino hydroxyethylamino sulfonamide
 retroviral protease inhibitors
 IN Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez,
 Michael L.; Sikorski, James A.; Devadas, Balakudru; Nagarajan, Srinivasan;
 McDonald, Joseph J.
 PA G.D. Searle and Co., USA
 SO U.S., 57 pp., Cont.-in-part of U.S. Ser. No. 401,838, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5705500	A	19980106	US 1995-478625	19950607
CA 2215066	AA	19960919	CA 1996-2215066	19960307
WO 9628418	A1	19960919	WO 1996-US2682	19960307
V: AL, AM, AT, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
AU 9666951	A1	19961002	AU 1996-66951	19960307
AU 711098	B2	19991007		
EP 813519	A1	19971229	EP 1996-911229	19960307
EP 813519	B1	20010509		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1183767	A	19980603	CN 1996-193609	19960307
BR 9607450	A	19980630	BR 1996-7450	19960307
JP 11503414	T2	19990326	JP 1996-527646	19960307
EP 1052250	A1	20001115	EP 2000-114155	19960307
EP 1052250	B1	20040714		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
AT 201014	E	20010515	AT 1996-911229	19960307
ES 2157437	T3	20010816	ES 1996-911229	19960307
PT 813519	T	20011031	PT 1996-911229	19960307
SK 282893	B6	20030109	SK 1997-1223	19960307
PL 186059	B1	20030930	PL 1996-322169	19960307
AT 271034	E	20040715	AT 2000-114155	19960307
EE 4340	B1	20040816	EE 1997-199	19960307
NO 9704146	A	19971107	NO 1997-4146	19970909
NO 310353	B1	20010625		
GR 3036254	T3	20011031	GR 2001-401103	20010724
US 1995-401838	B2	19950310		
US 1995-478625	A	19950607		
EP 1996-911229	A3	19960307		
WO 1996-US2682	W	19960307		

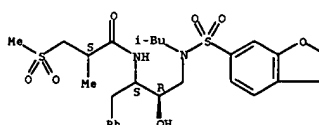
MARPAT 128:115228

AB Sulfonylethylamino hydroxyethylamino sulfonamide compds.
 R5S(O)(CH₂)_nCH(R₁)CONHCH₂CH₂(OH)CH₂NH₂SO₂R₄ (R₁ = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, CH₂CONH₂, CH₂CH₂CONH₂, CH₂SO₂NH₂, CH₂SO₂Me, CH₂SO₂Me, CH₂SO₂Me; R₂ = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R₃ = alkyl, cycloalkyl, cycloalkylmethyl; R₄ = benzo-fused heteroaryl or heterocyclyl; n, t = 0-2) were prepared as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-[(2-methylpropyl)[(1,3-benzodioxol-5-yl)sulfonyl]amino]-1S-

L4 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

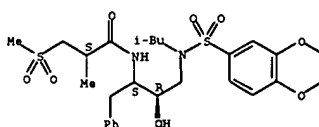
(phenylmethyl)propyl]-2S-methyl-3-(methylsulfonyl)propanamide was prepd. and assayed for HIV protease inhibitory activity (IC₅₀ = 2 nM; EC₅₀ = 20 nM).
 IT 174303-66-3P 183004-72-0P 183004-73-1P
 183004-74-2P 183004-76-6P 183182-29-8P
 RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of sulfonylethylamino hydroxyethylamino sulfonamide retroviral protease inhibitors)
 RN 174303-66-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-72-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

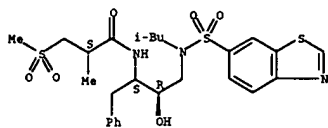
Absolute stereochemistry.



RN 183004-73-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

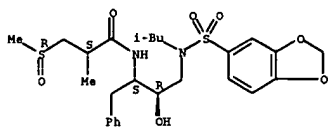
Absolute stereochemistry.

L4 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



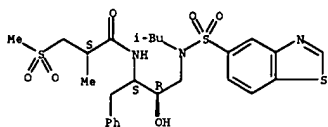
RN 183004-74-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-78-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



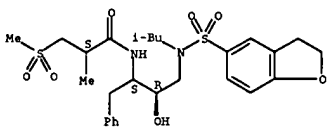
RN 183182-29-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S)-methylsulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

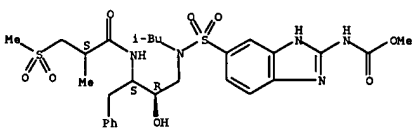
RN 183004-75-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



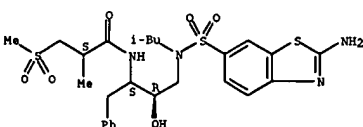
RN 183004-76-4 CAPLUS
 CN Carbanic acid, [5-[[[(2R,3S)-2-hydroxy-3-[(2S)-2-methyl-3-(methylsulfonyl)-1-oxopropyl]amino]-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



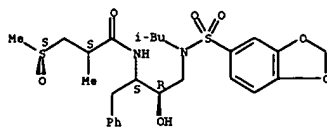
RN 183004-77-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



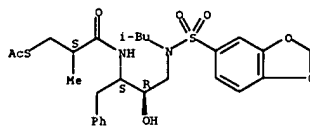
RE.CNT 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



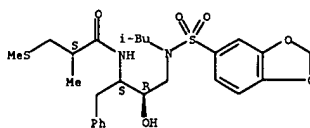
IT 183004-99-1P 183005-01-8P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of sulfonylalkanylamino hydroxyethylamino sulfonamide retroviral protease inhibitors)
 RN 183004-99-1 CAPLUS
 CN Ethanethioic acid, S-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-oxopropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183005-01-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

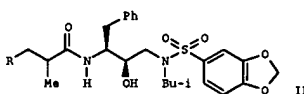


IT 183004-75-3P 183004-76-4P 183004-77-5P
 RI: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of sulfonylalkanylamino hydroxyethylamino sulfonamide

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:450087 CAPLUS
 DN 127:65754
 TI Preparation of N-(2-hydroxy-4-phenyl-3-(sulfonylalkanylamino)butyl)arylsulfonamides and analogs as retroviral protease inhibitors
 IN Sikorski, James A.; Getman, Daniel P.; Decrescenzo, Gary A.; Devadas, Baleskudru; Freskos, John N.; Lu, Hwang-fun; McDonald, Joseph J.
 PA G.D. Searle and Co., USA; Sikorski, James A.; Getman, Daniel P.; Decrescenzo, Gary A.; Devadas, Baleskudru; Freskos, John N.; Lu, Hwang-fun; McDonald, Joseph J.
 SO PCT Int. Appl., 68 pp.
 CODEN: PIXKDX
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9718205	A1	19970522	WO 1996-US17771	19961113
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BV, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2236236	AA	19970522	CA 1996-2236236	19961113
AU 9677222	A1	19970605	AU 1996-77222	19961113
EP 861249	A1	19980902	EP 1996-940302	19961113
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
JP 2000515488	T2	20001121	JP 1997-518913	19961113
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GI				

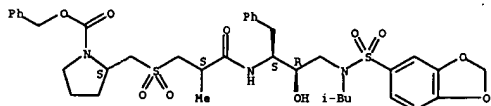


AB R5SO:(CH2)nC:(W)NHCHR2CH(OH)CH2NR3SO2R4 [I; R1 = H, (un)substituted alkyl, alkenyl, etc.; R2 = (ar)alkyl, alkylthioalkyl, arylthioalkyl, etc.; R3 = (cyclo)alkyl, cycloalkylalkyl, etc.; R5 = heterocyclyl(alkyl), (hetero)aryl(alkyl), etc.; W = O or S; n,t = 0-2] were prepared Thus, (2R,3S)-PhCH2O2CNHCH(CH2Ph)CH(OH)CH2NHCH2CHMe2 (preparation given) was amidated by benzodioxole-5-sulfonyl chloride and the deprotected product amidated by (S)-AcSCH2CHMeCO2H to give, after deprotection, sulfonamide II (R = 2-(pyridyl)ethylsulfonyl). Data for biol. activity of I were given.

IT 191413-54-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (prepn. of N-[2-hydroxy-4-phenyl-3-(sulfonylalkanylamino)butyl]arylsulfonyl amides and analogs as retroviral protease inhibitors)
 RN 191413-54-4 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



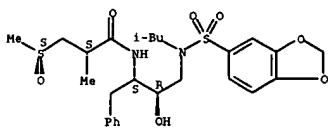
IT 174303-66-3P 183004-74-2P 183004-77-5P
 183182-29-8P 191412-75-6P 191412-76-7P
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 191412-86-9P 191412-87-0P 191412-88-1P
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 191413-02-2P 191413-03-3P 191413-04-4P
 191413-05-5P 191413-06-6P 191413-07-7P
 191413-08-8P 191413-09-9P 191413-10-2P
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 191413-20-4P 191413-22-6P 191413-24-8P
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 191413-72-6P 191413-73-7P 191413-75-9P
 191413-77-1P 191413-79-3P 191413-82-8P
 191413-84-0P 191413-86-2P 191413-88-4P

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

N-[2-hydroxy-4-phenyl-3-(sulfonylalkanylamino)butyl]arylsul

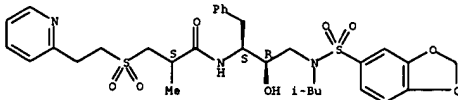
L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



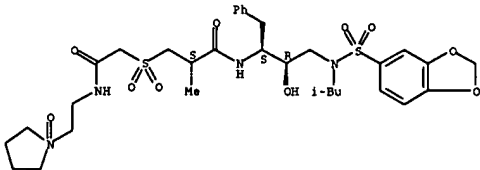
RN 191412-75-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-76-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-[(1-oxido-1-pyrrolidinyl)ethyl]amino]-2-oxoethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

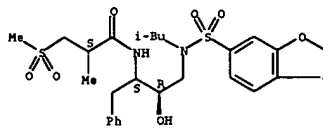
Absolute stereochemistry.



RN 191412-77-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-(1-piperazinyl)ethyl]sulfonyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

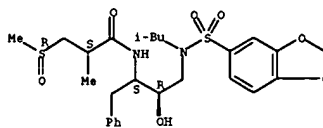
L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 fonamides and analogs as retroviral protease inhibitors)
 RN 174303-66-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



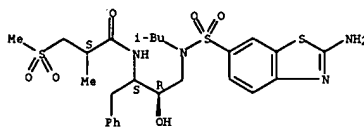
RN 183004-74-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-77-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

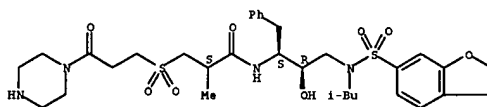
Absolute stereochemistry.



RN 183182-29-8 CAPLUS

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

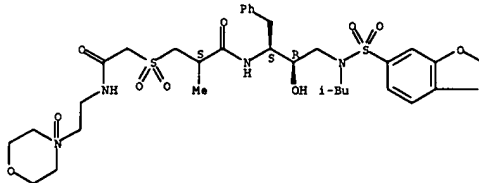
Absolute stereochemistry.



● HCl

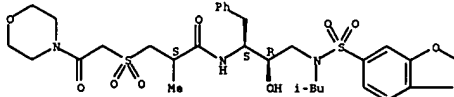
RN 191412-78-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-[(4-oxido-4-morpholinyl)ethyl]amino]-2-oxoethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-79-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-morpholinyl)-2-oxoethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

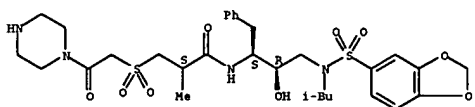
Absolute stereochemistry.



RN 191412-80-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-(1-piperazinyl)ethyl]sulfonyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
NAME)

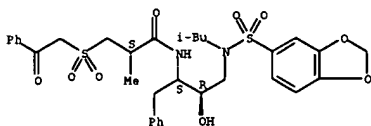
Absolute stereochemistry.



● HCl

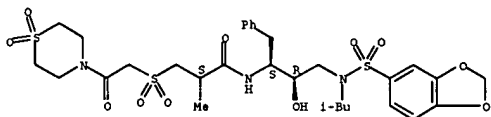
RN 191412-81-4 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



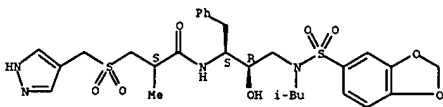
RN 191412-82-5 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(2-(1,1-dioxido-4-thiomorpholinyl)-2-oxoethyl)sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



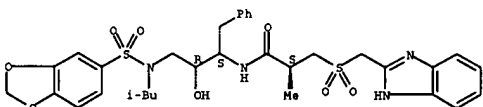
RN 191412-83-6 CAPLUS
CN Butanoic acid, 4-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



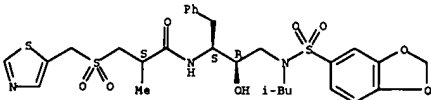
RN 191412-87-0 CAPLUS
CN Propanamide, 3-[(1H-benzimidazol-2-ylmethyl)sulfonyl]-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



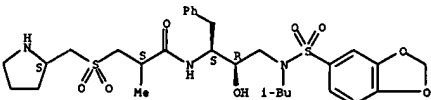
RN 191412-88-1 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-89-2 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2S)-2-pyrrolidinylmethylsulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

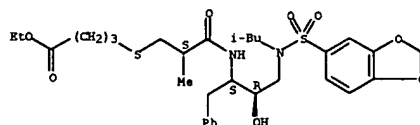
Absolute stereochemistry.



RN 191412-90-5 CAPLUS

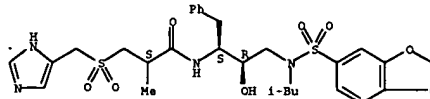
L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



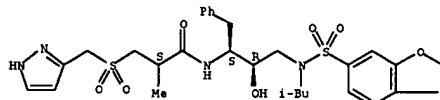
RN 191412-84-7 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1H-imidazol-4-ylmethyl)sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-85-8 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



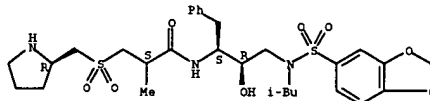
RN 191412-86-9 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-4-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



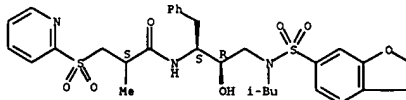
L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2R)-2-pyrrolidinylmethylsulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



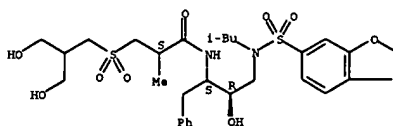
RN 191412-91-6 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-pyridinylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-92-7 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(3-hydroxy-2-(hydroxymethyl)propyl)sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

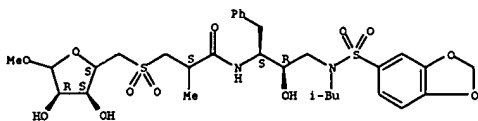
Absolute stereochemistry.



RN 191412-93-8 CAPLUS
CN D-Ribofuranoside, methyl 5-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]-5-deoxy- (9CI) (CA INDEX NAME)

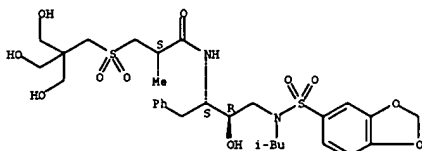
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



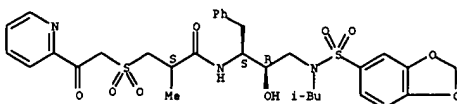
RN 191412-94-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-95-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

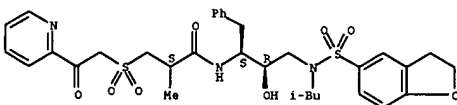
Absolute stereochemistry.



RN 191412-96-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

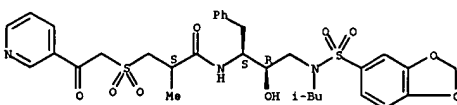
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



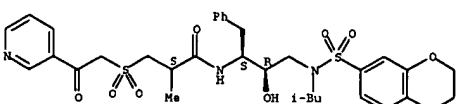
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 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



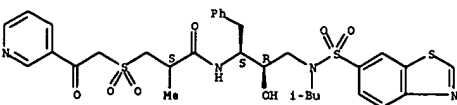
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 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



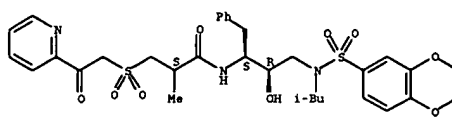
RN 191413-03-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



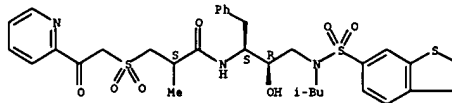
RN 191413-04-4 CAPLUS

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



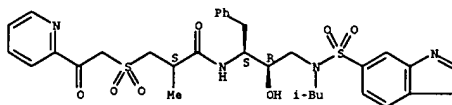
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 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-99-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



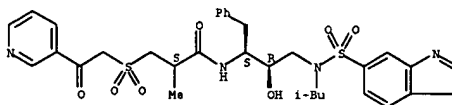
RN 191413-00-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

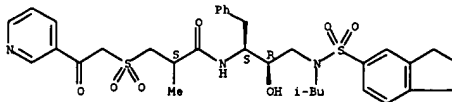
RN 191413-01-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



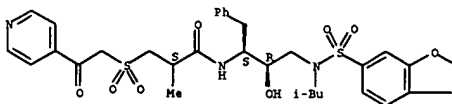
RN 191413-05-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-06-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

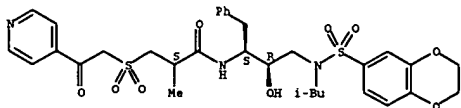
Absolute stereochemistry.



RN 191413-07-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

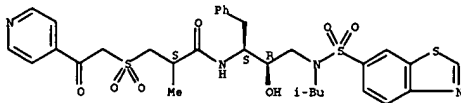
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



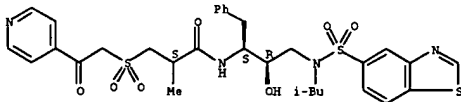
RN 191413-08-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-09-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

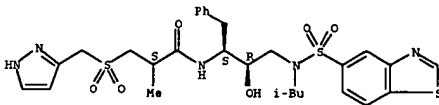
Absolute stereochemistry.



RN 191413-10-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

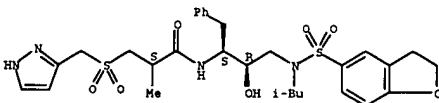
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



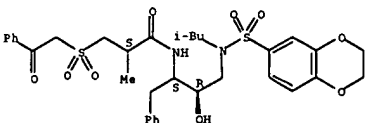
RN 191413-14-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-15-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

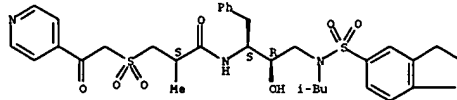
Absolute stereochemistry.



RN 191413-16-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

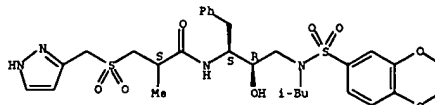
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



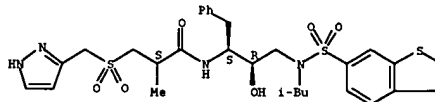
RN 191413-11-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-12-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

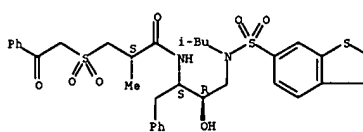
Absolute stereochemistry.



RN 191413-13-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

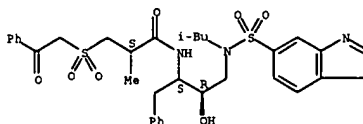
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



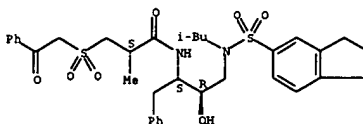
RN 191413-17-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-18-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

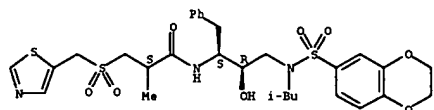
Absolute stereochemistry.



RN 191413-19-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

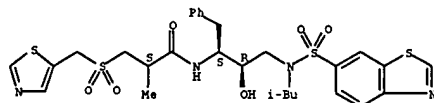
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



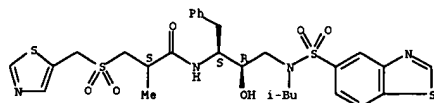
RN 191413-20-4 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-22-6 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

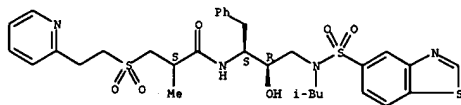
Absolute stereochemistry.



RN 191413-24-8 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

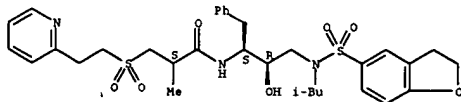
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



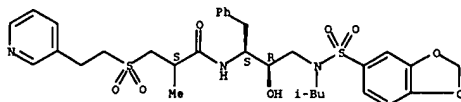
RN 191413-34-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(3-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



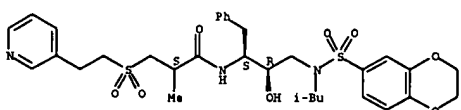
RN 191413-36-2 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(3-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



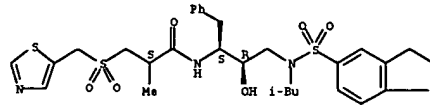
RN 191413-38-4 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(3-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



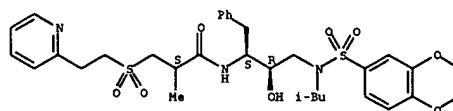
RN 191413-40-8 CAPLUS

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



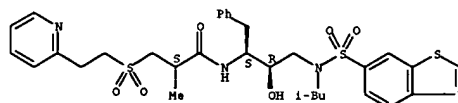
RN 191413-26-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(2-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-29-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(2-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



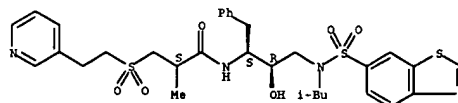
RN 191413-31-7 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(2-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

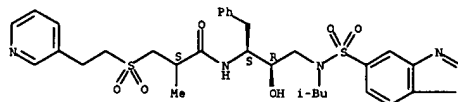
RN 191413-34-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(3-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



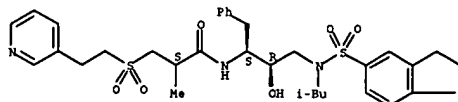
RN 191413-43-1 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(3-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-45-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(3-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

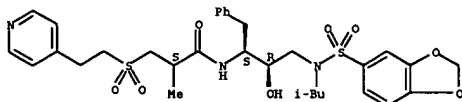
Absolute stereochemistry.



RN 191413-47-5 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

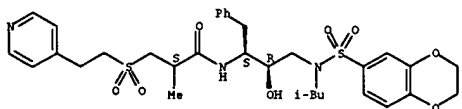
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



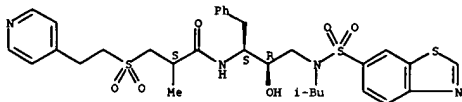
RN 191413-49-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[2,3-dihydro-1,4-benzodioxin-6-yl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-50-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[6-benzothiazolylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

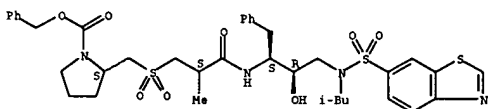
Absolute stereochemistry.



RN 191413-51-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[5-benzothiazolylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

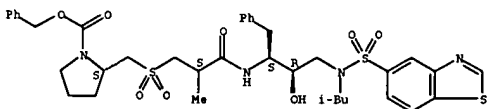
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



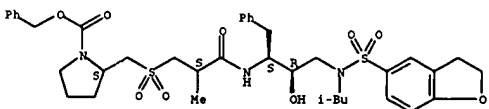
RN 191413-58-8 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[[5-benzothiazolylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-61-3 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[[2,3-dihydro-5-benzofuranyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

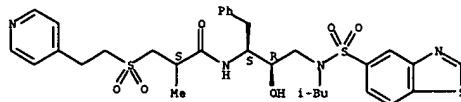
Absolute stereochemistry.



RN 191413-62-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

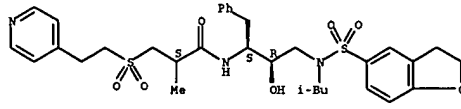
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



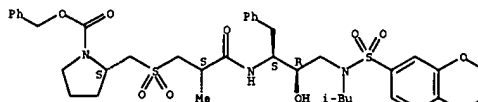
RN 191413-53-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[2,3-dihydro-5-benzofuranyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-56-6 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[[2,3-dihydro-1,4-benzodioxin-6-yl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

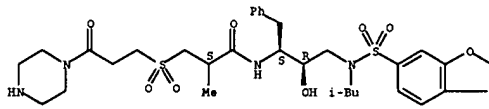
Absolute stereochemistry.



RN 191413-57-7 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[[6-benzothiazolylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

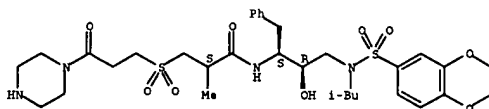
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



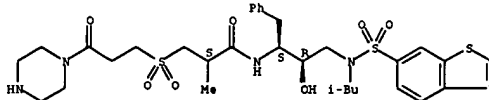
RN 191413-64-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[2,3-dihydro-1,4-benzodioxin-6-yl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



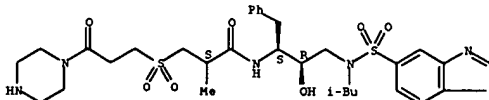
RN 191413-65-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[6-benzothiazolylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-66-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[5-benzothiazolylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

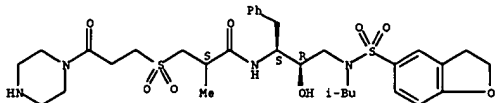
Absolute stereochemistry.



RN 191413-67-9 CAPLUS

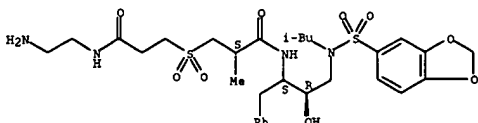
L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN Propanamide, N-[(1S,2R)-3-[[[2,3-dihydro-5-benzofuranyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



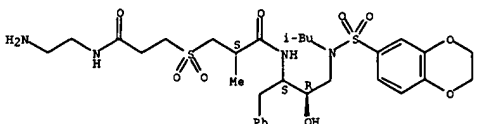
RN 191413-68-0 CAPLUS
 CN Propanamide, 3-[[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[[2,3-dihydro-1,4-benzodioxin-5-yl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



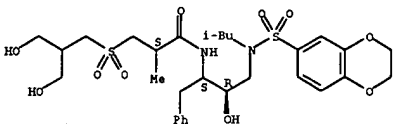
RN 191413-69-1 CAPLUS
 CN Propanamide, 3-[[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[[2,3-dihydro-1,4-benzodioxin-6-yl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



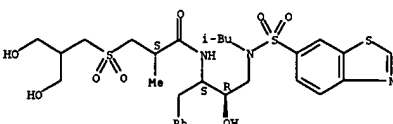
RN 191413-70-4 CAPLUS
 CN Propanamide, 3-[[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[[6-benzothiazolylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



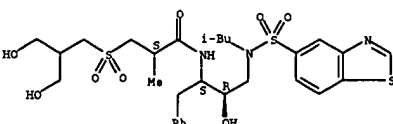
RN 191413-75-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[6-benzothiazolylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-77-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[5-benzothiazolylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

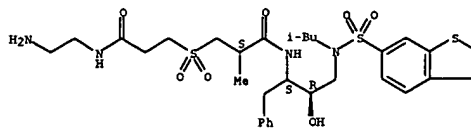
Absolute stereochemistry.



RN 191413-79-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[2,3-dihydro-5-benzofuranyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

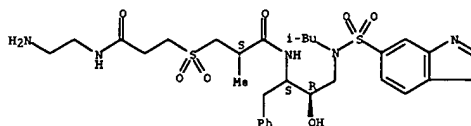
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 Absolute stereochemistry.



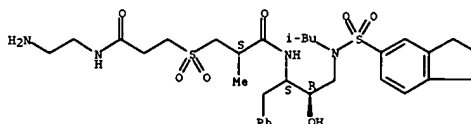
RN 191413-71-5 CAPLUS
 CN Propanamide, 3-[[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[[5-benzothiazolylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



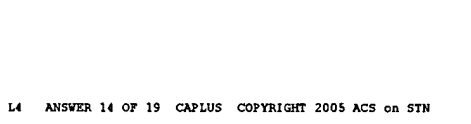
RN 191413-72-6 CAPLUS
 CN Propanamide, 3-[[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[[2,3-dihydro-5-benzofuranyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

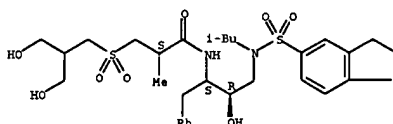


RN 191413-73-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[2,3-dihydro-1,4-benzodioxin-6-yl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

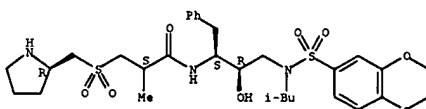


L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



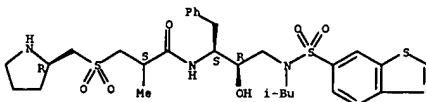
RN 191413-82-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[2,3-dihydro-1,4-benzodioxin-6-yl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[[3-hydroxy-2-(pyrrolidinylmethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



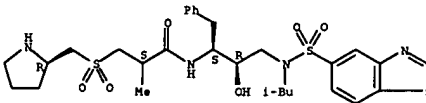
RN 191413-84-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[6-benzothiazolylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[[2-(pyrrolidinylmethyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-86-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[5-benzothiazolylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[[2-(pyrrolidinylmethyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

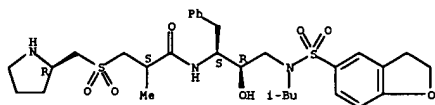


L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-88-4 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2R)-2-pyrrolidinylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 183004-99-1P 183005-01-8P 191413-92-0P
 191413-94-2P 191413-98-6P 191414-00-3P
 191414-02-5P 191414-04-7P 191414-05-8P
 191414-06-9P 191414-07-0P 191414-08-1P
 191414-09-2P 191414-10-5P 191414-11-6P
 191414-12-7P 191414-13-8P 191414-15-0P
 191414-18-3P 191414-21-8P 191414-24-1P
 191414-26-3P 191414-27-4P 191414-28-5P
 191486-19-8P 191486-20-1P

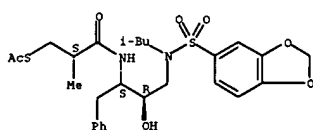
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of
 N-[2-hydroxy-4-phenyl-3-(sulfonylalkanoylamino)butyl]arylsul
 fonamides and analogs as retroviral protease inhibitors)

RN 183004-99-1 CAPLUS

CN Ethanoethic acid, S-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino)-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



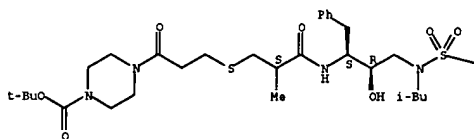
RN 183005-01-8 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino)-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

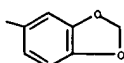
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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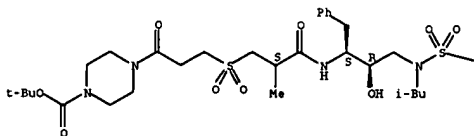


RN 191414-00-3 CAPLUS

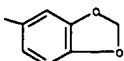
CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino)-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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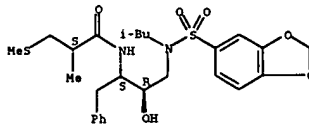
PAGE 1-B



RN 191414-02-5 CAPLUS

CN Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino)-2-

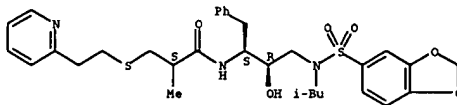
L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 191413-92-0 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino)-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(2-pyridinyl)ethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

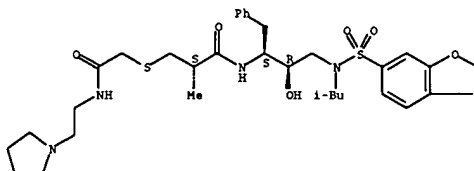
Absolute stereochemistry.



RN 191413-94-2 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino)-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-[[2-(1-pyrrolidinyl)ethyl]amino]ethyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-98-6 CAPLUS

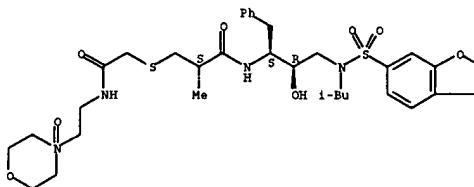
CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino)-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-[(4-oxido-4-morpholinyl)ethyl]amino]-2-oxoethyl]thio]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

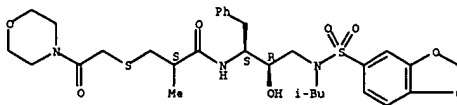
Absolute stereochemistry.



RN 191414-04-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino)-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-morpholinyl)-2-oxoethyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

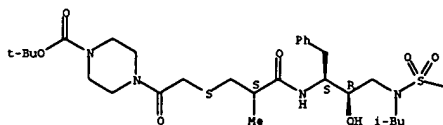
Absolute stereochemistry.



RN 191414-05-8 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino)-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



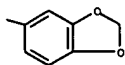
PAGE 1-A

RN 191414-02-5 CAPLUS

CN Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino)-2-

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

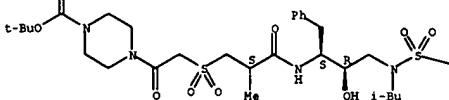
PAGE 1-B



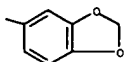
RN 191414-06-9 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonylethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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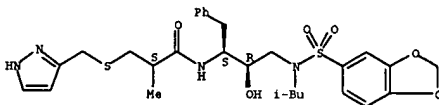
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RN 191414-07-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonylethyl)amino]-2-methylpropyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-imidazol-3-ylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

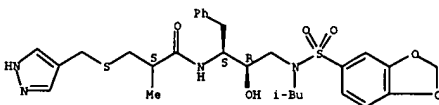
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



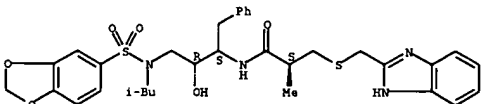
RN 191414-11-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonylethyl)amino]-2-methylpropyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-4-ylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



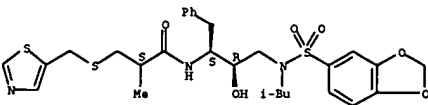
RN 191414-12-7 CAPLUS
 CN Propanamide, 3-[(1H-benzimidazol-2-ylmethyl)thio]-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonylethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



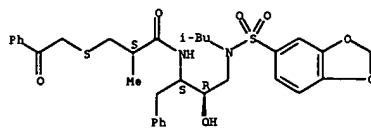
RN 191414-13-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonylethyl)amino]-2-methylpropyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



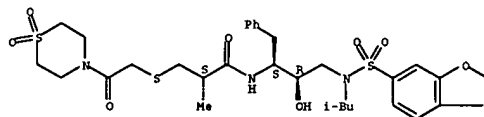
RN 191414-15-0 CAPLUS

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



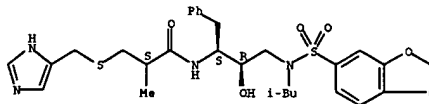
RN 191414-08-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonylethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(2-(1,1-dioxido-4-thiomorpholinyl)-2-oxoethyl)thio]-2-methyl-, (1S)-[1R*(R*),2S*]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191414-09-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonylethyl)amino]-2-methylpropyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1H-imidazol-4-ylmethyl)thio]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



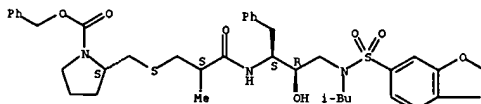
RN 191414-10-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonylethyl)amino]-2-methylpropyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

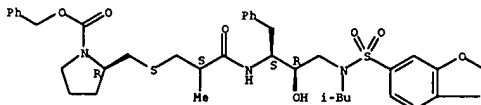
CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonylethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



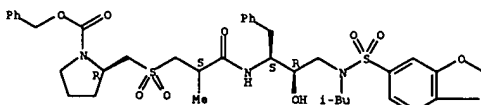
RN 191414-18-3 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonylethyl)amino]-2-methylpropyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]methyl]-, phenylmethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191414-21-8 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonylethyl)amino]-2-methylpropyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2R)- (9CI) (CA INDEX NAME)

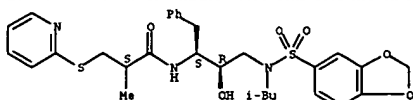
Absolute stereochemistry.



RN 191414-24-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonylethyl)amino]-2-methylpropyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(2-pyridinylthio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

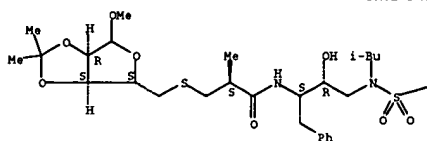
L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



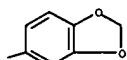
RN 191414-26-3 CAPLUS
 CN D-Ribofuranoside, methyl 5-S-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]-2,3-O-(1-methylethylidene)-5-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

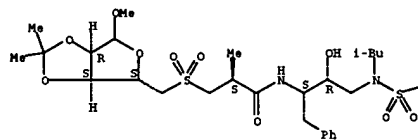


RN 191414-27-4 CAPLUS
 CN D-Ribofuranoside, methyl 5-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]-5-deoxy-2,3-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

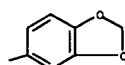
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A

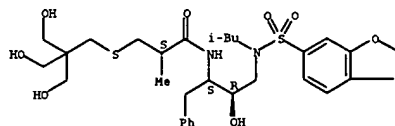


PAGE 1-B



RN 191414-28-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[3-hydroxy-2,2-bis(hydroxymethyl)propyl]thio]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

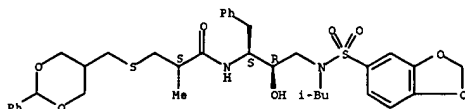
Absolute stereochemistry.



RN 191486-19-8 CAPLUS
 CN Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-phenyl-1,3-dioxan-5-yl)methyl]thio]-, [5S(1S,2R)]-[partial]- (9CI) (CA INDEX NAME)

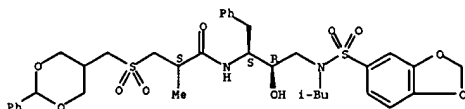
Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 191486-20-1 CAPLUS
 CN Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-phenyl-1,3-dioxan-5-yl)methyl]sulfonyl]-, [5S(1S,2R)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

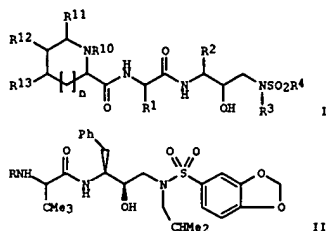


L4 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:8904 CAPLUS
 DN 126:31657
 TI Preparation of N-heterocyclecarbonyl amino acid hydroxyethylamino sulfonamide as retroviral protease inhibitors
 IN Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan; Brown, David L.; McDonald, Joseph J.
 PA G.D. Searle and Co., USA
 SO PCT Int. Appl., 184 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FI WO 9628465	A1	19960919	WO 1996-US2683	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
US 5776971	A	19980707	US 1995-474117	19950607
AU 9654178	A1	19961002	AU 1996-54178	19960307
AU 717598	B2	20000330		
EP 815124	A1	19980107	EP 1996-911230	19960307
EP 815124	B1	20021204		
JP 11501920	T2	19990216	GB, GR, IT, LI, LU, NL, SE, PT, IE, FI	
BR 9607625	A	19990615	BR 1996-7625	19960307
RU 2174519	C2	20011010	RU 1997-116523	19960307
AT 229033	E	20021215	AT 1996-911230	19960307
PL 184771	B1	20021231	PL 1996-322179	19960307
NO 9704147	A	19971104	NO 1997-4147	19970909
US 6172101	B1	20010109		
FRAI US 1995-402419	A2	19950310	US 1998-894984	19980423
US 1995-474117	A2	19950607		
WO 1996-US2683	W	19960307		
OS MARPAT 126:31657				
GI				

L4 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



AB Selected heterocyclecarbonyl amino acid hydroxyethylamino sulfonamide compds. of formula [I]: R1 = C1-5 alkyl, C2-5 alkenyl or alkynyl, C1-3 hydroxyalkyl, C1-3 alkoxy-C1-3 alkyl, cyano-C1-3 alkyl, imidazolylmethyl, CH2CONH2, CH2CH2CONH2, CH2(S)nNH2 (n = 0, 1, 2), Me2(S)nMe (n = 0, 1, 2); R2 = C1-5 alkyl, C1-3 alkyl, alkylthio-C1-3 alkyl, arylthio-C1-3 alkyl, 3- to 6-membered cycloalkyl-C1-3 alkyl; R4 = aryl, benzo-fused 5- to 6-membered heteroaryl or heterocyclyl, etc.; R10 = H, C1-3 hydroxyalkyl, alkoxy-C1-3 alkyl; R12, R13 = H, OH, HOCH2CH2, C1-3 hydroxyalkyl, alkoxy-C1-3 alkyl; or R11 and R12 or R12 and R13 along with the C atoms to which they are attached represent a benzo radical optionally substituted with at least one HO or C1-3 alkoxy radical are effective as retroviral protease inhibitors, and in particular as inhibitor of HIV protease, and for the treatment of AIDS. The present invention relates to such retroviral protease inhibitors and, more particularly, relates to selected novel compds., composition and method for inhibiting retroviral proteases, such as human immunodeficiency virus (HIV) protease, prophylactically preventing retroviral infection or the spread of a retrovirus, and the treatment of a retroviral infection. Thus, tert-leucine derivative (II; R = H)

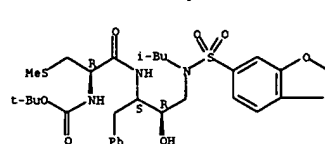
(preparation given) was condensed with 2-Pro-OH using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBt in DMF followed by hydrogenolysis and acidification with HCl to give proline-containing peptide analog II.HCl (R = H-Pro). The latter compound in vitro showed IC50 of 1 nM for inhibiting the proliferation of HIV-1 in CEM cells.

IT 183553-90-4P 183553-91-5P 183553-92-6P
 RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-heterocyclecarbonyl amino acid N-[hydroxy(sulfonamido)propyl]amides as retroviral protease inhibitors for AIDS treatment)

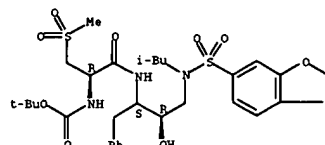
RN 183553-90-4 CAPLUS
 CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



RN 183553-91-5 CAPLUS
 CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

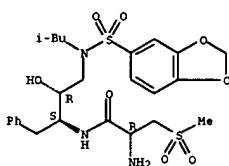
Absolute stereochemistry.



RN 183553-92-6 CAPLUS
 CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



● HCl

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN

AN 1996:748344 CAPLUS

BN 126:19331

TI Preparation of peptide hydroxyethylaminosulfonamide analogs as retroviral protease inhibitors.

IN Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Baleskudru; Nagarajan, Srinivasan; Brown, David L.; McDonald, Joseph J.

PA G.D. Searle and Co., USA

SO PCT Int. Appl., 212 pp.

COBEN: PIXKD2

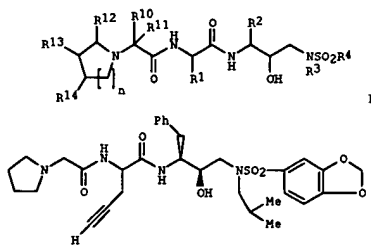
DT Patent

LA English

FAM.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FI WO 9628463	A1	19960919	WO 1996-US2684	19960307
V: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
US 5756533	A	19980526	US 1995-474052	19950607
AU 9650294	A1	19961002	AU 1996-50294	19960307
AU 705268	B2	19990520		
EP 813542	A1	19971229	EP 1996-907135	19960307
EP 813542	B1	20021016		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
BR 9607638	A	19980526	BR 1996-7638	19960307
JP 2001513746	T2	20010904	JP 1996-527648	19960307
AT 226213	E	20021115	AT 1996-907135	19960307
EP 1258491	A1	20021120	EP 2002-11526	19960307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
PL 184748	B1	20021231	PL 1996-322784	19960307
EE 4349	B1	20040816	EE 1997-201	19960307
NO 9704148	A	19971027	NO 1997-4148	19970909
US 5968970	A	19991019	US 1998-894900	19980102
US 2002111368	A1	20020815	US 2001-836443	20010418
US 6458785	B2	20021001		
FI 1995-402287	A2	19950310		
US 1995-474052	A2	19950607		
EP 1996-907135	A3	19960307		
WO 1996-US2684	W	19960307		
US 1999-451920	A3	19991201		
OS HARPAT 126:19331				
GI				

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. (I; n = 1, 2; R1 = alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, imidazolylalkyl, CH₂CONH₂, CH₂SOMe, etc.; R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylmethyl; R4 = aryl, benzoheteroaryl; R10 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl, PhCH₂, imidazolylmethyl, CH₂CH₂CONH₂, CH₂CH₂SMe, etc.; R12 = H, hydroxyalkyl, alkoxyalkyl; R13, R14 = H, OH, alkoxy, 2-hydroxyethoxy, hydroxyalkyl, alkoxyalkyl; R12R13, R13R14 = atoms to form 5-6 membered heteroaryl or benzo rings), were prepared. Thus, title compound (II),

prepared by solution phase means, inhibited HIV protease with IC₅₀ = 2 nM.

IT 183553-89-1P 183812-50-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid hydroxyethylaminosulfonamide retroviral

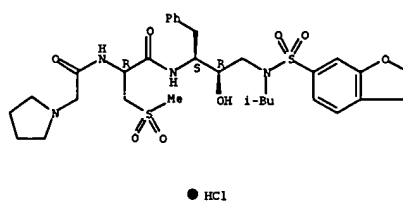
protease inhibitors)

RN 183553-89-1 CAPLUS

CN 1-Pyrrolidineacetamide, N-[(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

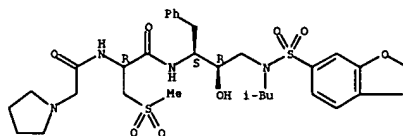
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 183812-50-2 CAPLUS

CN 1-Pyrrolidineacetamide, N-[(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 183553-90-4P 183553-91-5P 183553-92-6P

183553-93-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acid hydroxyethylaminosulfonamide retroviral

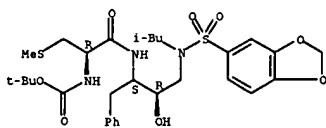
protease inhibitors)

RN 183553-90-4 CAPLUS

CN Carbamic acid, [(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

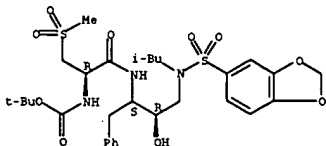
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 183553-91-5 CAPLUS

CN Carbamic acid, [(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

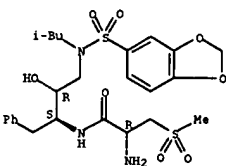
Absolute stereochemistry.



RN 183553-92-6 CAPLUS

CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



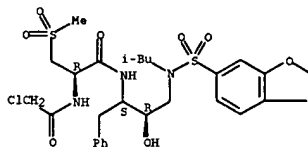
● HCl

RN 183553-93-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN

AN 1996:733943 CAPLUS

DN 126:8710

TI Preparation of bisamino acid hydroxyethylaminosulfonamide retroviral protease inhibitors.

IN Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vasquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan; Brown, David L.; McDonald, Joseph J.

FA G.D. Searle and Co., USA

SO PCT Int. Appl., 255 pp.

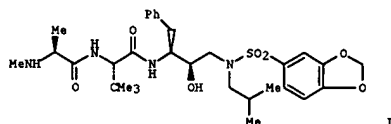
CODEN: PIXXD2

DT Patent

LA English

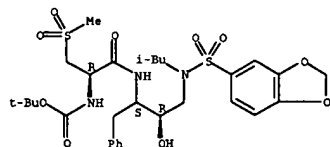
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FI WO 9628464	A1	19960919	WO 1996-US2685	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 6150556	A	20001121	US 1995-479071	19950607
AU 9653561	A1	19961002	AU 1996-53561	19960307
AU 704360	B2	19990422		
BR 9607543	A	19971223	BR 1996-7543	19960307
EP 813543	A1	19971229	EP 1996-910337	19960307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
JP 11501921	T2	19990216	JP 1996-527649	19960307
EP 1188766	A1	20020320	EP 2001-129219	19960307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
PL 185108	B1	20030228	PL 1996-322163	19960307
PL 185543	B1	20030530	PL 1996-352508	19960307
EE 4376	B1	20041015	EE 1997-200	19960307
NO 9704149	A	19971105	NO 1997-4149	19970909
US 6143788	A	20001107	US 1998-513096	19980121
PRAI US 1995-402450	A2	19950310		
US 1995-479071	A	19950607		
EP 1996-910337	A3	19960307		
WO 1996-US2685	W	19960307		
OS HARPAT 126:8710				
GI				



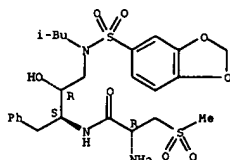
L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN

(Continued)



RN 183553-92-6 CAPLUS
 CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

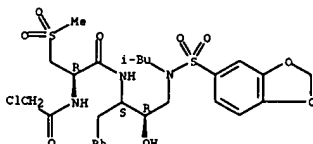
Absolute stereochemistry.



● HCl

RN 183553-93-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

AB R13R12R10R11CONHCHR1CONHCHR2CH(OH)CH2NR3SO2R4 (R1 = alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, CH2CH2CONH2, CH2SO4, etc.; R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl, R3 = alkyl, cycloalkyl, cycloalkylalkyl, R4 = aryl, heteroaryl, heterocyclyl, benzoheteroaryl; R10 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl, aralkyl, heteroalkyl, alkylthioalkyl, etc.; R12, R13 = H, alkyl, aralkyl, heteroalkyl, cycloalkyl, cycloalkylalkyl, hydroxyalkyl, alkoxyalkyl, aryl, heteroaryl; with proviso(s), were prepared as retroviral protease inhibitors. Thus, title compound (I), prepared by solution phase methods, inhibited HIV protease

with

IC50 = 1 nM.

IT 183553-90-4P 183553-91-5P 183553-92-6P

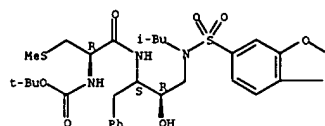
183553-93-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of bisamino acid hydroxyethylaminosulfonamide retroviral protease inhibitors)

RN 183553-90-4 CAPLUS

CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183553-91-5 CAPLUS

CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN

AN 1996:667025 CAPLUS

DN 125:328302

TI Preparation of N-[(sulfonylalkenyl)amino]hydroxyalkyl)sulfonamides as retroviral protease inhibitors

IN Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vasquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan; McDonald, Joseph J.

FA G.D. Searle and Co., USA

SO PCT Int. Appl., 171 pp.

CODEN: PIXXD2

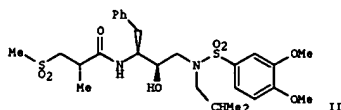
DT Patent

LA English

FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FI WO 9628418	A1	19960919	WO 1996-US2682	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 5705500	A	19980106	US 1995-478625	19950607
AU 9666951	A1	19961002	AU 1996-66951	19960307
AU 711098	B2	19991007		
EP 813519	A1	19971229	EP 1996-911229	19960307
EP 813519	B1	20010509		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
BR 9607450	A	19980630	BR 1996-7450	19960307
JP 11503414	T2	19990326	JP 1996-527646	19960307
AT 201014	E	20010515	AT 1996-911229	19960307
SK 282893	B6	20030109	SK 1997-1223	19960307
PL 186059	B1	20030930	PL 1996-322169	19960307
EE 4340	B1	20040816	EE 1997-199	19960307
NO 9704146	A	19971107	NO 1997-4146	19970909
NO 310353	B1	20010625		
US 5985870	A	19991116	US 1997-913069	19971219
US 6380188	B1	20020430	US 2000-672449	20000929
GR 3036254	T3	20011031	GR 2001-401103	20010724
US 2003191166	A1	20031009	US 2002-82123	20020226
US 6667307	B2	20031223		
US 2004147758	A1	20040729	US 2003-677729	20031003
US 1995-401838	A2	19950310		
US 1995-478625	A2	19950607		
WO 1996-US2682	W	19960307		
US 1997-913069	A1	19971219		
US 1999-411374	A1	19991004		
US 2000-672449	A1	20000929		
US 2002-82123	A1	20020226		
OS HARPAT 125:328302				
GI				

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



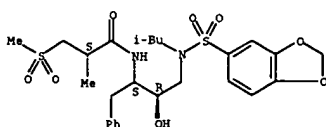
AB R5SO₂(CH₂)_nCH(R1)CONHCH(R2)CH(OH)CH₂NR3SO₂R4 [I: R1 = H, (hydroxy)alkyl, CH₂CONH₂, etc.; R2 = (ar)alkyl, alkylthioalkyl, etc.; R3 = (cyclo)alkyl, cycloalkylmethyl; R4 = heterocyclyl, heteroaryl, etc.; R5 = (ar)alkyl, alkenyl, alkynyl; m, n = 0-2] were prepared. Thus, (2S,3S)-N-benzoyloxycarbonyl-3-amino-1,2-epoxy-4-phenylbutane (preparation given) was condensed with Me₂CHCH₂NH₂ and the product amidated by 3,4-(MeO)C₆H₃SO₂Cl to give, after deprotection and (S)-MeSO₂CH₂CHMeCO₂H amidation, title compound II. Data for activity of selected I in an in vitro HIV inhibition assay were given.

IT 174303-66-3P 183004-72-0P 183004-73-1P
183004-74-2P 183004-75-3P 183004-76-4P
183004-77-5P 183004-78-6P 183182-29-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(sulfonylalkenyl)amino]hydroxyalkyl)sulfonamides as retroviral protease inhibitors)

RN 174303-66-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

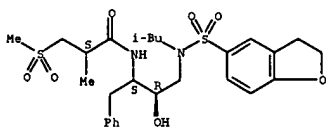
Absolute stereochemistry.



RN 183004-72-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

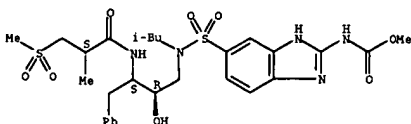
Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



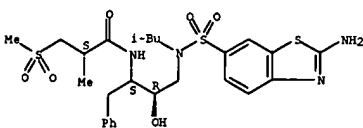
RN 183004-76-4 CAPLUS
CN Carbamic acid, [5-[[[(2R,3S)-2-hydroxy-3-[(2S)-2-methyl-3-(methylsulfonyl)-1-oxopropyl]amino]-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-77-5 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

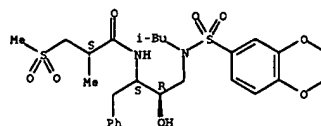
Absolute stereochemistry.



RN 183004-78-6 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

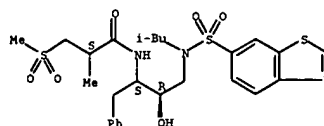
Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



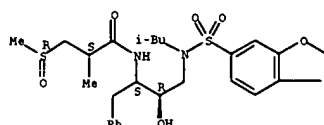
RN 183004-73-1 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-74-2 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

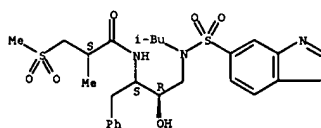
Absolute stereochemistry.



RN 183004-75-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

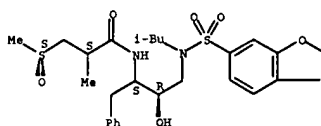
Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 183182-29-8 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

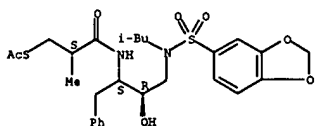
Absolute stereochemistry.



IT 183004-99-1P 183005-01-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-[(sulfonylalkenyl)amino]hydroxyalkyl)sulfonamides as retroviral protease inhibitors)

RN 183004-99-1 CAPLUS
CN Ethanethioic acid, S-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-oxopropyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

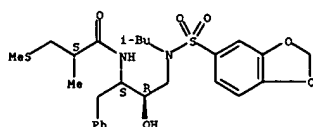


RN 183005-01-8 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)



L4 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:153437 CAPLUS

DN 124:220480

TI Retroviral protease inhibitor combinations

IN Bryant, Martin L.; Potts, Karen E.; Smidt, Mary; Tucker, Simon P.

PA G.D. Searle and Co., USA

SO PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

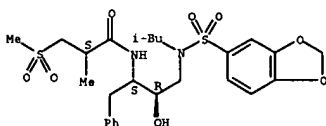
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9533464	A2	19951214	WO 1995-US6673	19950602
WO 9533464	A3	19960104		
W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT			
RW:	KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, ML, HR, NE, SN, TD, TG			
CA 2191948	AA	19951214	CA 1995-2191948	19950602
AU 9526510	A1	19960104	AU 1995-26510	19950602
AU 696299	B2	19980903		
EP 762880	A1	19970319	EP 1995-921420	19950602
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			
BR 9507912	A	19970812	BR 1995-7912	19950602
CN 1166786	A	19971203	CN 1995-194464	19950602
HU 76979	A2	19980128	HU 1996-3328	19950602
JP 10505324	T2	19980526	JP 1995-501057	19950602
NZ 287702	A	20000623	NZ 1995-287702	19950602
US 6100277	A	20000808	US 1995-458154	19950602
PL 180070	B1	20001229	PL 1995-317425	19950602
RU 2166317	C2	20010510	RU 1997-100123	19950602
NO 9605136	A	19970120	NO 1996-5136	19961202
FI 9604835	A	19970129	FI 1996-4835	19961203
US 2003207813	A1	20031106	US 2002-253899	20020925
PRAI US 1994-253638	A2	19940603		
WO 1995-US6673	W	19950602		
US 1996-737960	B1	19961209		
AB	A method is disclosed for the treatment of mammalian retrovirus infections, e.g. HIV, using combinations of retroviral protease inhibitors which are effective in preventing the replication of the retroviruses in vitro or in vivo. In particular, the invention provides protease inhibitor compds. used in combination therapy with other protease inhibitor compds. Also disclosed is combination therapy with a combination of protease inhibitors and antiviral agents other than protease inhibitors. Preparation and activity of selected inhibitors is included.			
IT 174303-66-3P	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (retroviral protease inhibitor combinations, and protease inhibitor preparation)			
RN 174303-66-3	CAPLUS			

L4 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)-2-methylpropyl]amino]-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> => d que 115

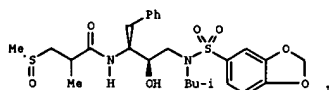
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L9	67	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	"DEVADAS BALEKUDRU"/AU
L10	6	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	"NAGARAJAN SRINIVASAN RAJ"/AU
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L12	47	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	"VAZQUEZ MICHAEL L"/AU
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L14	40	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	L13 AND RETROVIR?
L15	8	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	L14 AND SULFONYLALKANOYLAMINO

=> d 1-8 bib abs

L15 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS ON STN
 AN 2001:10084 CAPLUS
 DN 134:71903
 TI Preparation of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors
 IN Getman, Daniel P.; DeCrescenzo, Gary A.; Fraskos, John W.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balakudru; Nagarajan, Srinivasan; McDonald, Joseph J.
 PA G.D. Searle and Co., USA
 SO U.S., 62 pp., Cont.-in-part of U.S. Ser. No. 401,838, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6169085	B1	20010102	US 1999-411374	19991004
US 6380188	B1	20020430	US 2000-672449	20000929
US 2003191166	A1	20031009	US 2002-82123	20020226
US 6667307	B2	20031223		
US 2004147758	A1	20040729	US 2003-677729	20031003
FRAI US 1995-401838	B2	19950310		
WO 1996-US2682	A1	19960307		
US 1997-913069	A1	19971219		
US 1999-411374	A1	19991004		
US 2000-672449	A1	20000929		
US 2002-82123	A1	20020226		
OS MARPAT 134:71903				
GI				

L15 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



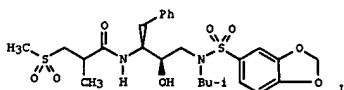
AB Sulfonylalkanoylamino hydroxyethylamino sulfonamide compds.
 R55(O)t(CH2)nCH(R1)CONHCH(R2)CH(OH)CH2NR3SO2R4 (R1 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, CH2CONH2, CH2CH2CONH2, CH2SO2NH2, CH2SMe, CH2SOMe, CH2SO2Me; R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylmethyl; R4 = benzo-fused heteroaryl or heterocyclyl; R5 = alkyl, alkenyl, alkynyl, aryl; t = 0-2) were prepared as retroviral protease inhibitors.
 Thus, N-[2R-hydroxy-3-[(2-methylpropyl)][(1,3-benzodioxol-5-yl)sulfonyl]amino]-1S-(phenylmethyl)propyl]-2S-methyl-3-(methylsulfonyl)propanamide was prepared and assayed for HIV protease inhibitory activity (IC50 = 2 nM; EC50 = 20 nM). The corresponding methylsulfinyl derivative I (claimed compound) showed IC50 values 2 and 7 nM and EC50 values 52 and 80 nM for the two isomers.

RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS ON STN
 AN 1999:733035 CAPLUS
 DN 131:337352
 TI Preparation of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors
 IN Getman, Daniel P.; DeCrescenzo, Gary A.; Fraskos, John W.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balakudru; Nagarajan, Srinivasan; McDonald, Joseph J.
 PA G.D. Searle and Co., USA
 SO U.S., 59 pp., Cont.-in-part of U.S. Ser. No. 401,838, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5985870	A	19991116	US 1997-913069	19971219
WO 9628419	A1	19960919	WO 1996-US2682	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
US 6380188	B1	20020430	US 2000-672449	20000929
US 2003191166	A1	20031009	US 2002-82123	20020226
US 6667307	B2	20031223		
US 2004147758	A1	20040729	US 2003-677729	20031003
FRAI US 1995-401838	B2	19950310		
WO 1996-US2682	W	19960307		
US 1995-478625	A2	19950607		
US 1997-913069	A1	19971219		
US 1999-411374	A1	19991004		
US 2000-672449	A1	20000929		
US 2002-82123	A1	20020226		
OS MARPAT 131:337352				
GI				

L15 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)
 ALL CITATIONS AVAILABLE IN THE RE FORMAT



AB Sulfonylalkanoylamino hydroxyethylamino sulfonamide compds.
 R55(O)t(CH2)nCH(R1)CONHCH(R2)CH(OH)CH2NR3SO2R4 (R1 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, CH2CONH2, CH2CH2CONH2, CH2SO2NH2, CH2SMe, CH2SOMe, CH2SO2Me; R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylmethyl; R4 = benzo-fused heteroaryl or heterocyclyl; n, t = 0-2) were prepared as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-[(2-methylpropyl)][(1,3-benzodioxol-5-yl)sulfonyl]amino]-1S-(phenylmethyl)propyl]-2S-methyl-3-(methylsulfonyl)propanamide (I) was prepared and assayed for HIV protease inhibitory activity (IC50 = 2 nM; EC50 = 20 nM).

RE.CNT 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD

L15 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1998:392089 CAPLUS
 DN 129:40987
 TI Sulfonylalkanylamino hydroxyethylamino sulfonyl urea derivatives useful as retroviral protease inhibitors
 IN Vasquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Sun, Eric T.
 PA G.D. Searle and Co., USA
 SO U.S., 29 pp., Cont. of U. S. Ser. No. 969,616, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5756498	A	19980526	US 1996-589563	19960111
PRAI US 1992-969616	B1	19921030		
OS MARPAT 129:40987				

AB Sulfonylalkanylamino hydroxyethylamino sulfonyl urea derivs.
 RSO_x(CH₂)_tCR₂OR₂CH₂CH₂(Y)NR₆CHR₂CH₂(OH)CH₂NR₃SO₂NR₄CR₇R₇' (CH₂)_nR₈ (R = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R₁ R₂, R₂1 = H, CH₂SO₂NH₂, CH₂CO₂Me, haloalkyl, etc.; R₂ = alkyl, aryl, cycloalkyl, etc.; R₃ = alkyl, haloalkyl, alkenyl, alkynyl, etc.; R₄ = H, radicals as defined for R₃; R₆ = H, alkyl; R₇, R₇' = H, amino acid side chains, etc.; R₈ = cyano, OH, alkyl, alkoxy, etc.; x = 1, 2; t = 0-2; n = 0-6; Y = S, S, NR₅), effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease (no data), were prepared E.g., N-[[[2R-hydroxy-3S-[(2S-methyl-3-(methylsulfonyl)-1-oxopropyl]amino]-4-phenylbutyl](3-methylbutyl)amino]sulfonyl]-2-methylalanine Et ester was prepared

RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1998:324825 CAPLUS
 DN 129:16390
 TI Preparation of substituted sulfonylalkanylamino hydroxyethylamino sulfonamide retroviral protease inhibitors
 IN Sikorski, James A.; Getman, Daniel P.; Decrescenzo, Gary A.; Devadas, Balakudru; Freskos, John M.; Lu, Hwang-fun; McDonald, Joseph J.
 PA G.D. Searle and Co., USA
 SO U.S., 131 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5753660	A	19980519	US 1996-747357	19961113
PRAI US 1996-747357		19961113		
OS MARPAT 129:16390				

AB Sulfonylalkanylamino hydroxyethylamino sulfonamides
 RSS(O)_m(CH₂)_nCH₂CH₂(Y)NR₆CHR₂CH₂(OH)CH₂NR₃SO₂NR₄ (W = O, S; m, n = 0, 1, or 2; R₁ = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, NH₂COCH₂, NH₂COCH₂CH₂, NH₂SO₂CH₂, MeSCH₂, MeSOCH₂, MeSO₂CH₂; R₂ = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R₃ = alkyl, cycloalkyl, cycloalkylalkyl; R₄ = aryl, heteroaryl, heterocyclyl; R₅ = heteroaryl, heterocyclyl) were prepared as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-[(2-methylpropyl)[(1,3-benzodioxol-5-yl)sulfonyl]amino]-1S-(phenylmethyl)propyl]-2S-methyl-3-[2-(2-pyridyl)ethylsulfonyl]propanamide was prepared by alkylation of the corresponding 3-mercaptopropanamide with 2-(2-chloroethyl)pyridine hydrochloride, followed by S-oxidation. The product was assayed for HIV protease inhibitory activity (IC₅₀ = 3 nM, EC₅₀ = 6 nM).

RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1998:41716 CAPLUS
 DN 128:115228
 TI Preparation of sulfonylalkanylamino hydroxyethylamino sulfonamide retroviral protease inhibitors
 IN Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John M.; Vasquez, Michael L.; Sikorski, James A.; Devadas, Balakudru; Nagarajan, Srinivasan; McDonald, Joseph J.
 PA G.D. Searle and Co., USA
 SO U.S., 57 pp., Cont.-in-part of U.S. Ser. No. 401,838, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5705500	A	19980106	US 1995-478625	19950607
CA 2215066	AA	19960919	CA 1996-2215066	19960307
WO 9628418	A1	19960919	WO 1996-025682	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
AU 9666951	A1	19961002	AU 1996-66951	19960307
AU 711098	B2	19991007		
EP 613519	A1	19971229	EP 1996-911229	19960307
EP 813519	B1	20010509		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1183767	A	19980603	CN 1996-193609	19960307
BR 9607450	A	19980630	BR 1996-7450	19960307
JP 11503414	T2	19990326	JP 1996-527646	19960307
EP 1052250	A1	20001115	EP 2000-114155	19960307
EP 1052250	B1	20040714		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
AT 201014	E	20010515	AT 1996-911229	19960307
ES 2157437	T3	20010816	ES 1996-911229	19960307
PT 813519	T	20011031	PT 1996-911229	19960307
SK 282893	B6	20030109	SK 1997-1223	19960307
PL 186059	B1	20030930	PL 1996-322169	19960307
AT 271034	E	20040715	AT 2000-114155	19960307
EE 4340	B1	20040816	EE 1997-199	19960307
NO 9704146	A	19971107	NO 1997-4146	19970909
NO 310353	B1	20010625		
GR 3036254	T3	20011031	GR 2001-401103	20010724
PRAI US 1995-401838	B2	19950310		
US 1995-478625	A	19950607		
EP 1996-911229	A3	19960307		
WO 1996-025682	W	19960307		

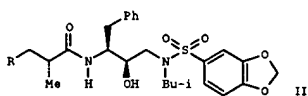
OS MARPAT 128:115228
 AB Sulfonylalkanylamino hydroxyethylamino sulfonamide compds.
 RSS(O)_m(CH₂)_nCH₂CH₂CH₂(Y)NR₆CHR₂CH₂(OH)CH₂NR₃SO₂NR₄ (R₁ = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, CH₂CONH₂, CH₂CH₂CONH₂, CH₂SO₂NH₂, CH₂SO₂Me, CH₂SO₂Me, CH₂SO₂CH₂; R₂ = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R₃ = alkyl, cycloalkyl, cycloalkylmethyl; R₄ = benzo-fused heteroaryl or heterocyclyl; n, t = 0-2) were prepared as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-[(2-

L15 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 methylpropyl)[(1,3-benzodioxol-5-yl)sulfonyl]amino]-1S-(phenylmethyl)propyl]-2S-methyl-3-(methylsulfonyl)propanamide was prep'd. and assayed for HIV protease inhibitory activity (IC₅₀ = 2 nM, EC₅₀ = 20 nM).

RE.CNT 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1997:450087 CAPLUS
 DN 127:65754
 TI Preparation of N-[2-hydroxy-4-phenyl-3-(sulfonylalkanylamino)butyl]arylsulfonamides and analogs as retroviral protease inhibitors
 IN Sikorski, James A.; Getman, Daniel P.; Decrescenzo, Gary A.; Devadas, Balakudru; Freskos, John N.; Lu, Hwang-fun; McDonald, Joseph J.
 PA G.D. Searle and Co., USA; Sikorski, James A.; Getman, Daniel P.; Decrescenzo, Gary A.; Devadas, Balakudru; Freskos, John N.; Lu, Hwang-Fun; McDonald, Joseph J.
 SO PCT Int. Appl., 68 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

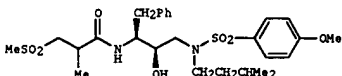
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FI WO 9718205	A1	19970522	WO 1996-US17771	19961113
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2236236	AA	19970522	CA 1996-2236236	19961113
AU 9677222	A1	19970605	AU 1996-77222	19961113
EP 861249	A1	19980902	EP 1996-940302	19961113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000515488	T2	20001121	JP 1997-518913	19961113
FRAI US 1995-6767P	P	19951115		
WO 1996-US17771	W	19961113		
OS MARPAT 127:65754				
GI				



AB R5SO₂(CH₂)_nC(=O)NHCH(R₁)CH₂(OH)CH₂NR₂SR₄ [I: R₁ = H, (un)substituted alkyl, alkenyl, etc.; R₂ = (ar)alkyl, alkylthioalkyl, arylthioalkyl, etc.; R₃ = (cyclo)alkyl, cycloalkylalkyl, etc.; R₅ = heterocyclyl(alkyl), (hetero)aryl(alkyl), etc.; W = O or S; n, t = 0-2] were prepared. Thus, (2R,3S)-PhCH₂O₂CNHCH(CH₂Ph)CH₂(OH)CH₂NR₂SR₄ (preparation given) was amidated by benzodioxole-5-sulfonyl chloride and the deprotected product amidated by (S)-AcSCH₂CH₂CH₂CO₂H to give, after deprotection, sulfonamide II (R = SH). The latter was S-alkylated by 2-(2-chloroethyl)pyridine (preparation

L15 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1996:380218 CAPLUS
 DN 125:142289
 TI Sulfonylethylamino hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
 IN Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.
 PA G. D. Searle and Co., USA
 SO U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 935,071, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FI US 5521219	A	19960528	US 1993-110913	19930824
AT 161828	E	19980115	AT 1993-920214	19930824
ES 2112430	T3	19980401	ES 1993-920214	19930824
FI 9500651	A	19950214	FI 1995-651	19950214
US 5508294	A	19960416	US 1995-455051	19950531
US 5510388	A	19960423	US 1995-455947	19950531
US 5639769	A	19970617	US 1996-587688	19960117
US 5760064	A	19980602	US 1997-867430	19970606
US 5965588	A	19991012	US 1998-48034	19980326
US 6147117	A	20001114	US 1999-352215	19990713
US 6743929	B1	20040601	US 2000-655844	20000906
US 2004267022	A1	20041230	US 2004-750213	20040102
FRAI US 1992-935071	B2	19920825		
US 1993-110913	A3	19930824		
US 1996-587688	A1	19960117		
US 1997-867430	A1	19970606		
US 1998-48034	A1	19980326		
US 1999-352215	A1	19990713		
US 2000-655844	A3	20000906		
OS MARPAT 125:142289				
GI				



AB R5O₂(CH₂)_nC(=O)NHCH(R₁)CH₂(OH)CH₂NR₂SR₄ (R = alkyl, alkenyl, aryl, etc.; R₁ = H, Me, 2SMe, alkyl, haloalkyl, amino acid side chain, etc.; R₂ = alkyl, aryl, cycloalkyl, etc.; R₃ = H, alkyl, haloalkyl, alkenyl, etc.; R₄ = alkyl, cycloalkyl, aryl, etc.; t = 0, 1; Y = O, S) and their salts were prepared as retroviral protease inhibitors. Thus, I was prepared in several steps and shown to have an IC₅₀ of 3.2 nanomolar when tested against HIV protease.

L15 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 AN 1996:408388 CAPLUS
 DN 122:188162
 TI preparation of sulfonylethylamino hydroxyethylamino sulfamic acids as retroviral protease inhibitors
 IN Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; De Crescenzo, Gary A.; Sun, Eric T.
 PA G.D. Searle and Co., USA; Monsanto Co.
 SO PCT Int. Appl., 111 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FI WO 9410136	A1	19940511	WO 1993-US10461	19931029
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2143191	AA	19940511	CA 1993-2143191	19931029
AU 9456651	A1	19940524	AU 1994-56651	19931029
EP 666843	A1	19950816	EP 1994-902199	19931029
EP 666843	B1	19990818		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 885881	A2	19981223	EP 1998-114522	19931029
EP 885881	A3	19991006		
EP 885881	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
EP 885880	A2	19981223	EP 1998-114523	19931029
EP 885880	A3	19991006		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 183499	E	19990915	AT 1994-902199	19931029
ES 2134924	T3	19991016	ES 1994-902199	19931029
AT 234279	E	20030315	AT 1998-114522	19931029
PT 885881	T	20030731	PT 1998-114522	19931029
ES 2196436	T3	20031216	ES 1998-114522	19931029
EP 1462443	A1	20040929	EP 2004-7097	19931029
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 5583132	A	19961210	US 1995-379645	19950202
GR 3031646	T3	20000229	GR 1999-402735	19991027
FRAI US 1992-969612	A	19921030		
EP 1994-902199	A3	19931029		
WO 1993-US10461	W	19931029		
EP 1998-114523	A3	19980803		
OS MARPAT 122:188162				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Sulfonylethylamino hydroxyethylamino sulfamic acid compds. [I: R = alkyl, alkenyl, alkynyl, cycloalkyl, hydroxyalkyl, etc.; R₁, R₂, R₃ = H, CH₂-SO₂-NH₂, CH₂-CO₂-Me, CO₂Me, CONH₂, etc.; R₄ = alkyl, aryl, cycloalkyl, cycloalkylalkyl, etc.; R₅ = alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl; R₆ = H, any group in the definition of R₃; R₇ = H, alkyl; x = 1, 2; t = 0, 1, 2; Y = O, S, NR₁₅; R₁₅ = H, any group in the definition of R₃] and their pharmaceutically acceptable salts and esters,

L15 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
effective as retroviral protease inhibitors, and in particular
as inhibitors of HIV protease, are prepd. E.g., 2(S)-methyl-3-
(methylsulfonyl)propionic acid was condensed with the phenylalanine deriv.
II (prepn. given) in DMF contg. HOBT and 1-(3-dimethylaminopropyl)-3-
ethylcarbodiimide at 0° for 2 h and at room temp. for 16 h to give
the title compd. III. III was the only title compd. prepd. with data and
it was not tested for biol. activities; however, some intermediates, e.g.,
analogs of II, were tested for their HIV inhibition activity.

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